STN- Structure Search 10/25/06

10/520,699

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L11 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:630342 CAPLUS
DOCUMENT NUMBER: 145:103563
TITLE: Preparation of piperidine derivatives as antagonists of the CC chemokine receptor CCR1 and their use as anti-inflammatory agents
INVENTOR(S): Arnaiz, Damian O.; Chou, You-Ling; Kochanny, Monica J.; Lee, Wheeseong; Lu, Shou-Fu; Mengel, Anne; Phillips, Gary; Wei, Guo Ping; Yu, Hongyi
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066948	A1	20060629	WO 2005-EP13938	20051220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006167044	A1	20060727	US 2005-305322	20051219
PRIORITY APPLN. INFO.:			US 2004-638033P	P 20041220
OTHER SOURCE(S):	MARPAT 145:103563			

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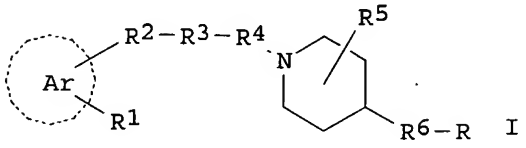

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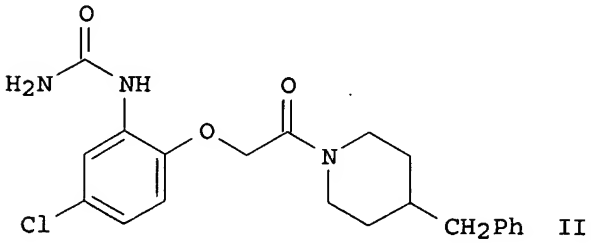


II

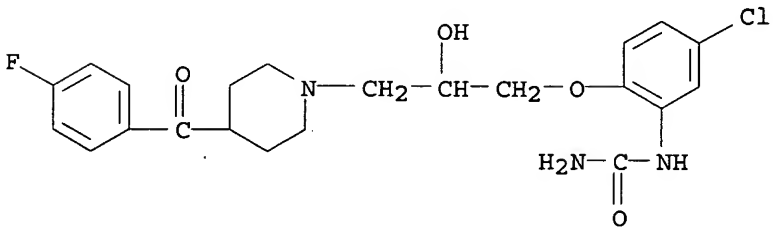
AB Title compds. represented by the formula I [wherein Ar = Ph, pyridinyl, (iso)quinolinyl; R1 = H, halo, (cyclo)alkyl, etc.; R2 = a bond, O, S,

N(R8), N(R8)C(O) or C(R9)2; R3 = (un)substituted alkylene or alkenylene; R4 = CO, OCO, CS, CH2 or a bond; R5 = independently H, oxo, (halo)alkyl, etc.; R6 = CO, CS, C(R9)2, etc.; R8 = independently H, halo, (cyclo)alkyl, etc.; R9 = independently H, (halo)alkyl, aryl, etc.; R = (un)substituted Ph or 2-thienyl; and enantiomers, diastereomers, tautomers, salts, solvates and radiolabeled analogs thereof] were prepared as CC chemokine receptor CCR1 antagonists. For example, II was provided in a multi-step synthesis starting from 1-(5-chloro-2-hydroxyphenyl)urea. I and their pharmaceutical compns. are useful for the treatment of inflammatory disorders, such as multiple sclerosis, leukoencephalopathy, and etc.

IT 894770-11-7P, 1-[5-Chloro-2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]-2-hydroxypropoxy]phenyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidine derivs. as antagonists of CC chemokine receptor CCR1 and their use as anti-inflammatory agents)

RN 894770-11-7 CAPLUS

CN Urea, [5-chloro-2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:510615 CAPLUS
DOCUMENT NUMBER: 145:27861
TITLE: Preparation of (hetero)aromatic ether amides as inhibitors of Factor Xa and/or thrombin.
INVENTOR(S): Argade, Ankush Baburao; Goodson, Theodore, Jr.; Herron, David Kent; Joseph, Sajan; Lepore, Salvatore Donato; Marquart, Angela Lynn; Masters, John Joseph; Mendel, David; Merritt, Leander; Ratz, Andrew Michael; Smith, Gerald Floyd; Tebbe, Anne Louise; Wiley, Michael Robert; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 348 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057845	A1	20060601	WO 2005-US41161	20051110

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,

VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2004-630984P P 20041124
OTHER SOURCE(S): MARPAT 145:27861
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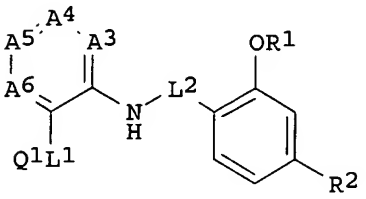


I

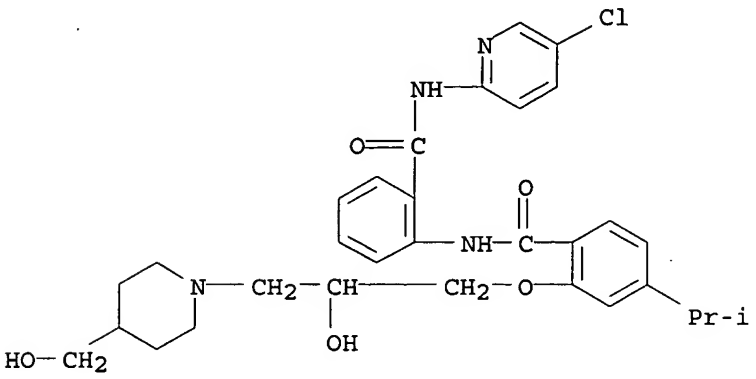
AB Title compds. [I; A3 = CR3; A4 = CR4; A5 = CR5; A6 = CR6; R3 = H, Me, F, Cl, CO2H; 1 of R4, R5 = H, alkyl, halo, cyano, CF3, OCF3, NO2, hydroxyalkoxy, etc., the other of R4, R5 = H; R6 = H, Me, F, Cl, MeO; L1 = CONH, SO2NH; Q1 = (substituted) Ph, 5-6 membered heteroaryl; L1Q1 = (4-methyl-substituted) piperazinocarbonyl; Ll2 = CO, CH2; R1 = (CH2)iQ(CH2)jNRaRb; Q = bond, i+j = 2-4, or Q = O, i, j = 2; or Q = CHMe, CMe2, CH(OH), i, j = 1; etc.; Ra = H, Rd; Rb = H, alkyl; NRaRb = azetidin-1-yl, pyrrolidin-1-yl, thiazolidin-3-yl, piperidin-1-yl, morpholin-4-yl, hexahydroazepin-1-yl, etc.; Rd = (substituted) alkyl; R2 = F, Cl, H2NCH2, 1-aminoethyl, 1-amino-1-methylethyl, etc.], were prepared Thus, N-(4-chlorophenyl)-2-[4-(dimethylamino)-2-(piperidin-4-yloxy)benzoylamino]benzamide was prepared from 2-hydroxy-4-dimethylaminobenzoic acid, 4-hydroxypiperidine, isatoic anhydride, and 4-chloroaniline. In general, I exhibit an association constant Kass for Factor Xa of 0.1-1000 + 106 L/mol or greater.

IT 889122-09-2P 889122-11-6P 889122-12-7P
889122-14-9P 889122-18-3P 889122-24-1P
889122-34-3P 889122-36-5P 889122-37-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)aromatic ether amides as inhibitors of Factor Xa and/or thrombin)

RN 889122-09-2 CAPLUS

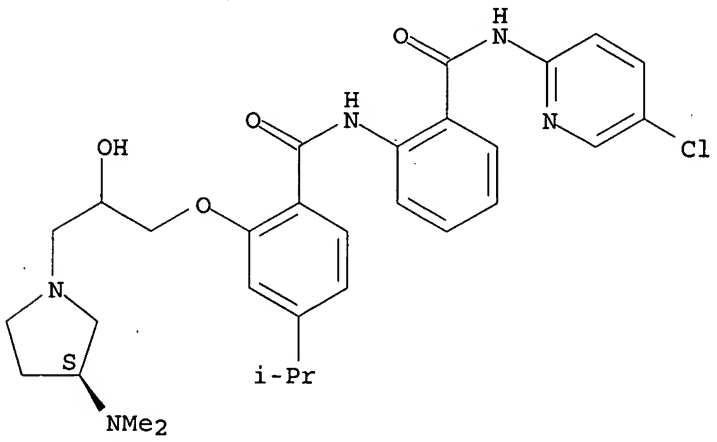
CN Benzamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-2-[2-hydroxy-3-(1-piperidinyl)propoxy]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 889122-36-5 CAPLUS
CN Benzamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-2-[2-hydroxy-3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-4-(1-methylethyl)-(9CI) (CA INDEX NAME)



RN 889122-37-6 CAPLUS
CN Benzamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-2-[3-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-hydroxypropoxy]-4-(1-methylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

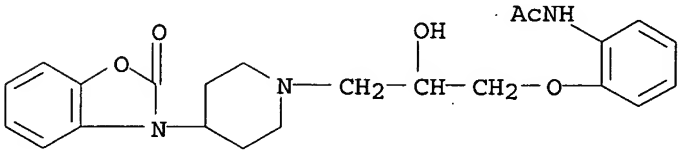
L11 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1016895 CAPLUS
DOCUMENT NUMBER: 143:415586
TITLE: G-Protein-Coupled Receptor Affinity Prediction Based on the Use of a Profiling Dataset: QSAR Design, Synthesis, and Experimental Validation
AUTHOR(S): Rolland, Catherine; Gozalbes, Rafael; Nicolaie, Eric; Paugam, Marie-France; Coussy, Laurent; Barbosa, Frederique; Horvath, Dragos; Revah, Frederic
CORPORATE SOURCE: Cerep, Rueil-Malmaison, 92500, Fr.
SOURCE: Journal of Medicinal Chemistry (2005), 48(21), 6563-6574
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A QSAR model accounting for "average" G-protein-coupled receptor (GPCR) binding was built from a large set of exptl. standardized binding data (1939 compds. systematically tested over 40 different GPCRs) and applied to the design of a library of "GPCR-predicted" compds. Three hundred and sixty of these compds. were randomly selected and tested in 21 GPCR binding assays. Positives were defined by their ability to inhibit by more than 70% the binding of reference compds. at 10 μM. A 5.5-fold enrichment in positives was observed when comparing the "GPCR-predicted" compds. with 600 randomly selected compds. predicted as "non-GPCR" from a general collection. The model was efficient in predicting strongest binders, since enrichment was greater for higher cutoffs. Significant enrichment was also observed for peptidic GPCRs and receptors not included to develop the QSAR model, suggesting the usefulness of the model to design ligands binding with newly identified GPCRs, including orphan ones.

IT 460047-71-6
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR design, synthesis, and exptl. validation of G-protein-coupled receptor affinity prediction based on use of a profiling dataset)

RN 460047-71-6 CAPLUS
CN Acetamide, N-[2-[2-hydroxy-3-[4-(2-oxo-3(2H)-benzoxazolyl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:588965 CAPLUS
DOCUMENT NUMBER: 143:115452
TITLE: Preparation of tricyclic spiropiperidines as modulators of chemokine receptor activity
INVENTOR(S): Hossain, Nafizal; Ivanova, Svetlana
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061499	A1	20050707	WO 2004-SE1938	20041220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU 2004303735	A1	20050707	AU 2004-303735	20041220
CA 2548494	AA	20050707	CA 2004-2548494	20041220
EP 1699791	A1	20060913	EP 2004-809111	20041220

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS

PRIORITY APPLN. INFO.: SE 2003-3541 A 20031222
WO 2004-SE1938 W 20041220

OTHER SOURCE(S): MARPAT 143:115452

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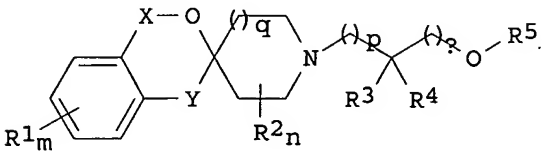

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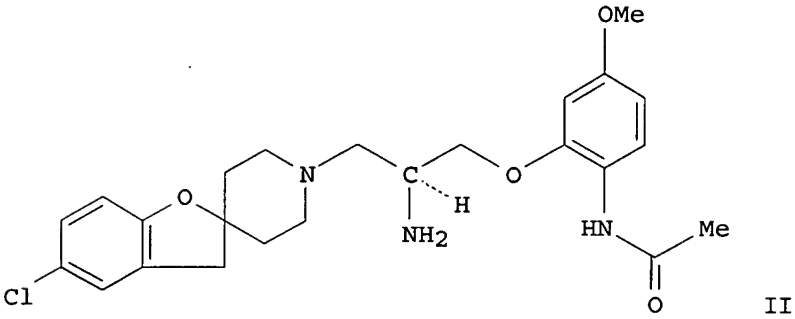
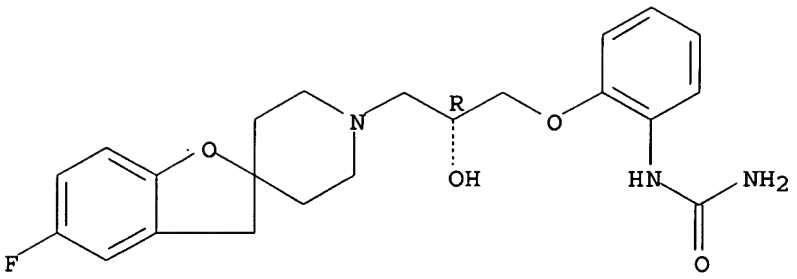


II

AB Title compds. I [m = 0-4; R1 = halo, CN, OH, etc.; X = bond, CH2 and Y = bond, CH2 provided that X, Y do not both simultaneously represent bond, CH2; n = 0-2; R2 = halo, alkyl, haloalkyl; q = 0-1; p = 0-2; R3 = halo, amino, carboxyl, etc.; R4 = H, alkyl, haloalkyl, halo; a = 0-2 provided that p and a are not both 0; R5 = (un)saturated 5-10-membered ring system] are prepared For instance, II is prepared in 4 steps from 5-methoxy-2-nitrophenol, (S)-oxiran-2-ylmethanol, and 5-chlorospiro[3H-benzofuran-2,4'-piperidine] (preparation given). I are modulators of chemokine receptor activity [no data] and useful for the treatment of, e.g., rheumatoid arthritis.

IT 644968-75-2P, N-[2-[[(2S)-3-(5-Chlorospiro[3H-benzofuran-2,4'-piperidin]-1'-yl)-2-hydroxypropyl]oxy]-4-hydroxyphenyl]acetamide
644971-08-4P, Methyl 5-chloro-2-[[(2S)-3-(5-chlorospiro[3H-benzofuran-2,4'-piperidin]-1'-yl)-2-hydroxypropyl]oxy]-4-[(4-methoxybenzyl)oxy]benzoate trifluoroacetate 644971-09-5P, 5-Chloro-2-[[(2S)-3-(5-chlorospiro[3H-benzofuran-2,4'-piperidin]-1'-yl)-2-hydroxypropyl]oxy]-4-[(4-methoxybenzyl)oxy]benzoic acid hydrochloride
644972-75-8P, N-[2-[[(2R)-3-(5-Fluorospiro[3H-benzofuran-2,4'-piperidin]-1'-yl)-2-hydroxypropyl]oxy]-4-methoxyphenyl]acetamide
857264-42-7P, N-[2-[[(2R)-3-(5-Chlorospiro[3H-benzofuran-2,4'-piperidin]-1'-yl)-2-hydroxypropyl]oxy]-4-methoxyphenyl]acetamide
857264-50-7P, N-[2-[[(2R)-2-Hydroxy-3-(spiro[3H-benzofuran-2,4'-piperidin]-1'-yl)propyl]oxy]-4-methoxyphenyl]acetamide
857264-62-1P, N-[2-[[(2R)-3-(5-Chlorospiro[3H-benzofuran-2,4'-



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:472162 CAPLUS
DOCUMENT NUMBER: 143:26501
TITLE: Preparation of N-(3-phenoxy-2-hydroxypropyl)-tricyclic spiropiperidine derivatives as modulators of chemokine receptor activity
INVENTOR(S): Baxter, Andrew; Hossain, Nafizal; Ivanova, Svetlana; Mensonides-Harsema, Marguerite; Pimm, Austen; Reuberson, James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049620	A1	20050602	WO 2004-SE1658	20041115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004291455	A1	20050602	AU 2004-291455	20041115
CA 2546028	AA	20050602	CA 2004-2546028	20041115
EP 1687311	A1	20060809	EP 2004-800321	20041115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, ~~SK, HR, IS~~				
PRIORITY APPLN. INFO.:			SE 2003-3090	A 20031120
			WO 2004-SE1658	W ~~20041115~~

OTHER SOURCE(S): MARPAT 143:26501
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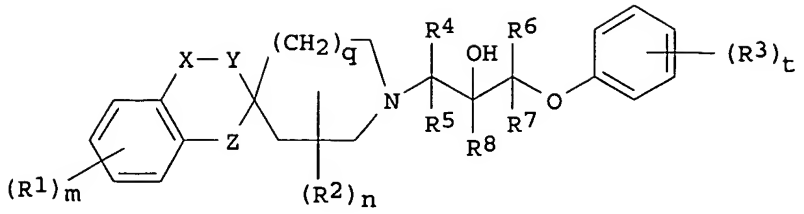

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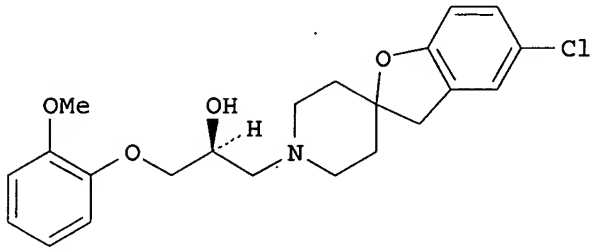


II

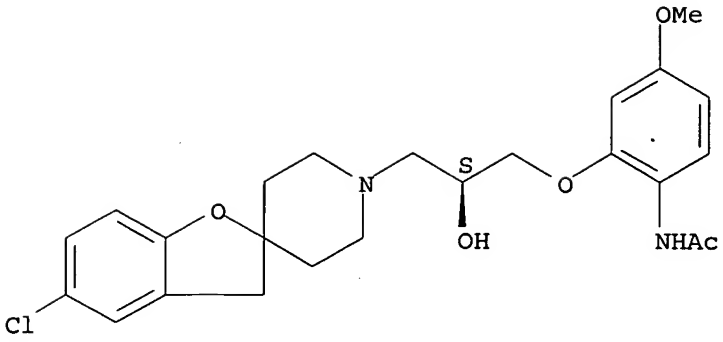
AB The invention provides compds. of formula (I) [wherein m = 0-4; R1 = halogen, cyano, HO, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, sulfonamido; X = a bond, CH2, O; Y = a bond, CH2, O; Z = a bond, O, NH, CH2; provided that only one of X, Y and Z can represent a bond at any one time and provided that X and Y do not both simultaneously represent O; n = 0-2; R2 = halogen, C1-6 alkyl, C1-6 haloalkyl; q = 0, 1; t = 0-5; R3 = halogen, cyano, NO2, HO, CHO, NR9R10, CH2COR11R12, NHSO2R13R14, CH2R17, C1-6 alkylcarbonyl, phenylcarbonyl, C3-6 cycloalkyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, Ph, (un)substituted and (un)saturated 5- to 10-membered heterocyclic ring system comprising at least one ring heteroatom selected from N, O, and S; R4-R8 = H, halogen, C1-6 alkyl, C1-6 haloalkyl; R9, R10, R13, R14, R15, R16 = H, C1-6 alkyl; R11, R12 = H, C1-6 alkyl; or NR11R12 or NR15R16 together form (un)substituted 4- to 7-membered saturated heterocyclic ring; R17 = ≥1 oxo-(un)substituted 5 to 7 membered saturated heterocyclic ring containing at least one N atom] or pharmaceutically acceptable salts or solvates thereof. These compds. modulate chemokine receptor activity (no data) and are useful in the manufacture of a medicament for the treatment of human diseases or conditions in which modulation of chemokine receptor activity is beneficial, including rheumatoid arthritis, chronic obstructive pulmonary disease, asthma, and multiple sclerosis. Thus, a mixture of 5-chloro-3H-spiro[1-benzofuran-2,4'-piperidine] (150 mg, 0.67 mmol) and (2S)-2-[(2-methoxyphenoxy)methyl]oxirane (121 mg, 0.67 mmol) in ethanol (2 mL) was stirred at 80° overnight to give, after evaporation of the solvent and purification on silica gel chromatog., (2S)-1-(5-chloro-1'H,3H-spiro[1-benzofuran-2,4'-piperidin]-1'-yl)-3-(2-methoxyphenoxy)propan-2-ol hydrochloride (II).

IT 644968-71-8P 644968-75-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(3-phenoxy-2-hydroxypropyl)spiropiperidine derivs. as modulators of chemokine receptor activity)

RN 644968-71-8 CAPLUS

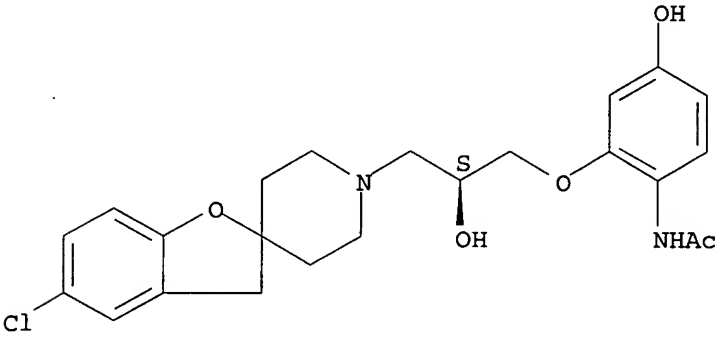
CN Acetamide, N-[2-[(2S)-3-(5-chlorospiro[benzofuran-2(3H),4'-piperidin]-1'-yl)-2-hydroxypropoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



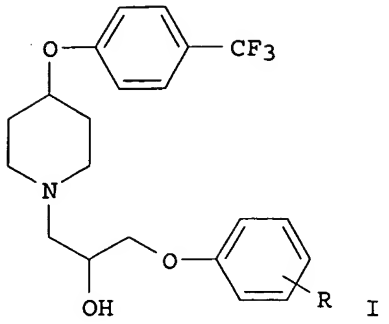
RN 644968-75-2 CAPLUS
CN Acetamide, N-[2-[(2S)-3-(5-chlorospiro[benzofuran-2(3H),4'-piperidin]-1'-yl)-2-hydroxypropoxy]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:372248 CAPLUS
DOCUMENT NUMBER: 144:150213
TITLE: Synthesis of the rigid analogues of an SSRI benzenepropanamine
AUTHOR(S): Kumar, S. T. V. S. Kiran; Sharma, V. L.; Srivastava, Shipra; Bhandari, Kalpana; Shander, Girija; Singh, H. K.
CORPORATE SOURCE: Division of Chemical Technology, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Medicinal Chemistry Research (2004), 13(6/7), 518-527
CODEN: MCREEB; ISSN: 1054-2523
PUBLISHER: Birkhaeuser Boston
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:150213
GI



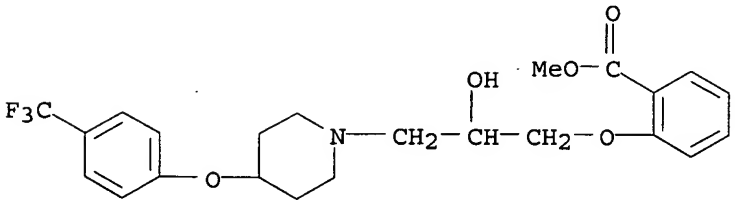
I

AB Several 1-(substituted phenoxy)-3-{[4-(4-trifluoromethyl)phenoxy]piperidin-1-yl}propan-2-ols (I) were prepared in a six-step reaction sequence starting from methylamine and Et acrylate and evaluated for antidepressant activity. The compds. were fully characterized by spectral and elemental analyses, and were tested for their effect on gross behavior, antireserpine and anorexigenic activity. No effect was observed on gross behavior and some of them showed fluoxetine like antireserpine and anorexigenic activity.

IT 873780-01-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of rigid analogs of an SSRI benzenepropanamine as antidepressant)

RN 873780-01-9 CAPLUS

CN Benzoic acid, 2-[2-hydroxy-3-[4-[4-(trifluoromethyl)phenoxy]-1-piperidinyl]propoxy]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1068075 CAPLUS
DOCUMENT NUMBER: 142:168975
TITLE: "Lead Hopping". Validation of Topomer Similarity as a Superior Predictor of Similar Biological Activities
AUTHOR(S): Cramer, Richard D.; Jilek, Robert J.; Guessregen, Stefan; Clark, Stephanie J.; Wendt, Bernd; Clark, Robert D.
CORPORATE SOURCE: Tripos Discovery Research, Cornwall, EX23 8LY, UK
SOURCE: Journal of Medicinal Chemistry (2004), 47(27), 6777-6791
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

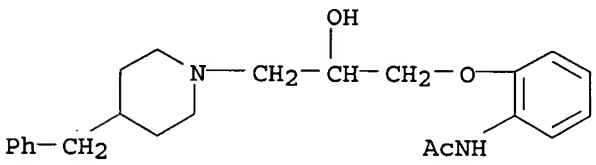
AB Two extensive studies quantifying the ability of topomer shape similarity to forecast a variety of biol. similarities are described. In a

prospective trial of "lead hopping", using topomer similarity for virtual screening and queries from the patent literature, biol. assays of 308 selected compds. (representing 0.03% of those available, per assay type) yielded 11 successful "lead hops" in the 13 assays attempted. The hit rate averaged over all assays was 39% ("activity" defined as inhibition ≥20% at 10 μM), significantly greater than an unexpectedly high neg. control hit rate of 15%. The average "Tanimoto 2D fingerprint similarity" between query and "lead hop" structures (0.36) was little more than the Tanimoto similarity between random drug-like structures. Topomer shape and Tanimoto 2D fingerprint similarities were also compared retrospectively, in their tendencies to concentrate together potential and actual drugs reported to belong to the same "activity class", for twenty classes. Among the most similar 3% of structures (corresponding to "≥0.85 Tanimoto" for these structures), an average of 62% of the topomer similar selection possessed a near neighbor belonging to the same activity class, roughly a one-third superiority over the "Tanimoto ≥ 0.85" selection containing 48% actives in avoiding false positives. Conversely, the least similar 75% of structures contained 0.3% actives for topomer similarity vs. 1.0% actives for Tanimoto 2D fingerprint similarity, a 3-fold superiority for topomers in avoiding false negatives.

IT 831238-78-9
RL: PAC (Pharmacological activity); BIOL (Biological study)
(validation of topomer similarity as a superior predictor of similar biol. activities of "Lead hopping")

RN 831238-78-9 CAPLUS

CN Acetamide, N-[2-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:349918 CAPLUS

DOCUMENT NUMBER: 141:331884

TITLE: Synthesis of complex diester amino alcohols on the basis of dichlorohydrin ester of 2-hydroxybenzoic acid

AUTHOR(S): Zeinalov, S. B.; Sharifova, S. K.; Mursakulova, G. M.; Abieva, Kh. M.

CORPORATE SOURCE: Inst. Khim. Problem, Nats. AN Azerb., Azerbaijan

SOURCE: Azerbaidzhanskii Khimicheskii Zhurnal (2003), (3), 67-70
CODEN: AZKZAU; ISSN: 0005-2531

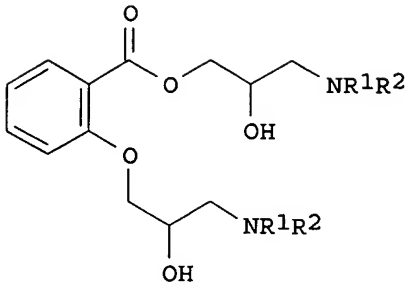
PUBLISHER: Natsional'naya Akademiya Nauk Azerbaidzhana

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 141:331884

GI



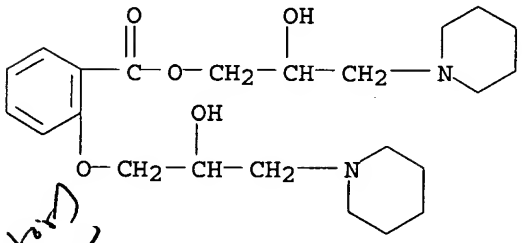
I

AB A series of diamino(dihydroxy)-substituted 2-alkoxybenzoates I (R1 = R2 = Me, Et; R1 = H, R2 = Bu, Ph, 2-MeC6H4; R1R2N = morpholino, piperidino) were prepared by amination of the corresponding bis(chlorohydrin) ester, readily available from 2-hydroxybenzoic acid and (chloromethyl)oxirane.

IT 155395-32-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of diamino(dihydroxy)-substituted alkoxybenzoates via amination of bis(chlorohydrin) ester of hydroxybenzoic acid)

RN 155395-32-7 CAPLUS

CN Benzoic acid, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]-, 2-hydroxy-3-(1-piperidinyl)propyl ester (9CI) (CA INDEX NAME)



Inventor

L11 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41477 CAPLUS

DOCUMENT NUMBER: 140:93937

TITLE: Preparation of tricyclic spiropiperidines or spiropyrrolidines useful against disorders affected by modulation of chemokine receptors

INVENTOR(S): Hossain, Nafizal; Ivanova, Svetlana; Mensonides-Harsema, Marguerite

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

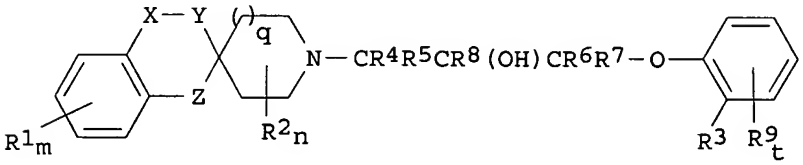
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005295	A1	20040115	WO 2003-SE1185	20030707

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2492122	AA	20040115	CA 2003-2492122	20030707
AU 2003243122	A1	20040123	AU 2003-243122	20030707
EP 1521757	A1	20050413	EP 2003-762957	20030707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012560	A	20050510	BR 2003-12560	20030707
CN 1675218	A	20050928	CN 2003-819146	20030707
JP 2005537255	T2	20051208	JP 2004-519472	20030707
NZ 537259	A	20060831	NZ 2003-537259	20030707
ZA 2005000024	A	20060222	ZA 2005-24	20050103
US 2005245741	A1	20051103	US 2005-520699	20050107
NO 2005000635	A	20050331	NO 2005-635	20050204
PRIORITY APPLN. INFO.:			SE 2002-2133 A	20020708
			WO 2003-SE1185 W	20030707

OTHER SOURCE(S): MARPAT 140:93937
GI



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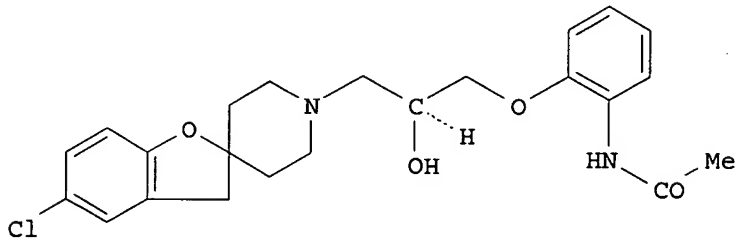
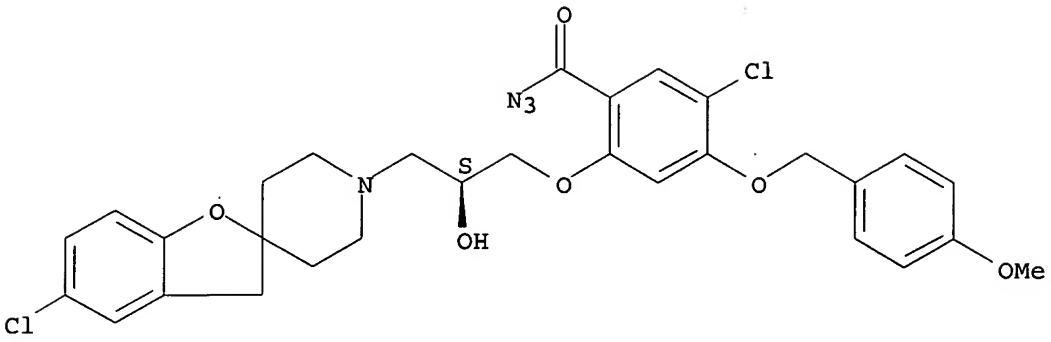


II

AB The invention provides tricyclic spiropiperidines or spiropyrrolidines (shown as I; variables defined below; e.g. II), processes for their preparation, pharmaceutical compns. containing them and their use in therapy for disorders affected by modulation of chemokine receptors (no data). For I: m is 0-4; each R1 = halogen, cyano, hydroxy, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy or sulfonamido; either X = a bond, -CH2-, -O- or -C(O)- and Y = a bond, -CH2-, -O- or -C(O)-, or X and Y together = -CH:CMe- or -CMe:CH-, and Z = a bond, -O-, -NH- or -CH2-, provided that only one of X, Y and Z can be a bond at any one time and provided that X and Y do not both simultaneously = -O- or -C(O)-. N = 0-2; each R2 = halogen or C1-C6 alkyl; q = 0-1; R3 = -NHC(O)R10, -C(O)NR11R12 or -COOR12a; R4, R5, R6, R7 and R8 = H or a C1-C6 alkyl group; t = 0-2; each R9 = halogen, cyano, hydroxy, carboxy, C1-C6 alkoxy, C1-C6 alkoxycarbonyl, C1-C6 haloalkyl, or C1-C6 alkyl; addnl. details are given in the claims. Methods of preparation are claimed and >200 example prepns. are included. For example, II was prepared in 2 steps starting from N-(2-hydroxyphenyl)acetamide, ((2S)-oxiran-2-yl)methyl and Cs2CO3 in DMF to give N-[2-[((2S)-oxiran-2-yl)methoxy]phenyl]acetamide as an intermediate, which was reacted with 5-chloro-3H-spiro[1-benzofuran-2,4'-piperidine] in EtOH to give II.

IT 644969-62-0P 644969-63-1P

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:754213 CAPLUS
DOCUMENT NUMBER: 137:262955
TITLE: Preparation of novel amides as modulators of CCR-receptor activity
INVENTOR(S): Eriksson, Tomas; Lawitz, Karolina
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076457	A1	20021003	WO 2002-SE541	20020319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2441933	AA	20021003	CA 2002-2441933	20020319
EP 1372651	A1	20040102	EP 2002-708893	20020319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008336	A	20040323	BR 2002-8336	20020319
JP 2004524345	T2	20040812	JP 2002-574972	20020319
CN 1538844	A	20041020	CN 2002-807096	20020319
NZ 528296	A	20050324	NZ 2002-528296	20020319
ZA 2003006792	A	20041129	ZA 2003-6792	20030829
NO 2003004215	A	20031124	NO 2003-4215	20030922
US 2004122020	A1	20040624	US 2004-472017	20040108
PRIORITY APPLN. INFO.:			SE 2001-1038 A	20010323
			WO 2002-SE541 W	20020319

OTHER SOURCE(S): MARPAT 137:262955
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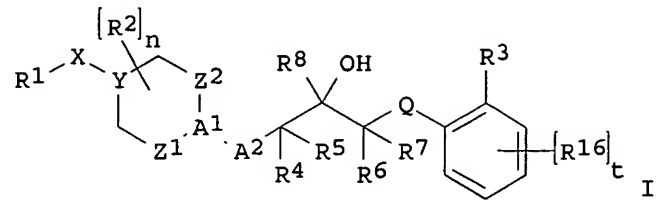

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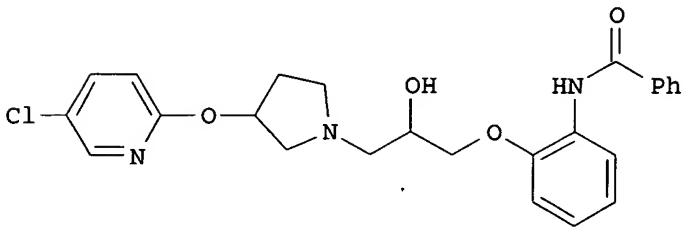


II

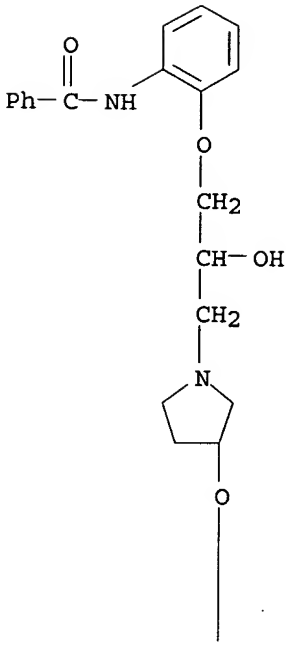
AB The title compds. [I; R1 = (un)saturated (un)substituted 5-10 membered heterocyclyl; X = O, S, CH2, etc.; Y = N, CH, C(OH); n = 0-2; R2 = alkyl, alkoxycarbonyl, CH2OH, CO2H; Z1 = a bond, (CH2)q; q = 1-2; Z2 = a bond, CH2; when Y = N, then A1 = CH and A2 = NH, or A1 = N and A2 = CH2, or A1 = N and A2 = a bond; or when Y = CH or C(OH), then A1 = N and A2 = a bond; Q = O, S, CH2, NH; R3 = NHCOR13, CONR14R15; R4-R7 = H, alkyl, or R4-R7 together = alkylene chain linking the two carbon atoms to which they are attached to form a 4-7 membered saturated carbocycle, or R5-R7 = H and R4 and R8 together with the carbon atoms to which they attached form a 5-6 membered saturated carbocycle; R8 = H, alkyl; R13 = alkyl, alkenyl, cycloalkyl, etc.; R14, R15 = H, 5-6 membered (un)saturated (un)substituted ring optionally comprising at least one ring heteroatom, etc.; R16 = halo, CN, NO2, etc.; t = 0-3; with the provisos] which have activity as pharmaceuticals, in particular as modulators of chemokine receptor (especially MIP-1α chemokine receptor) activity, and may be used in the treatment of rheumatoid arthritis, chronic obstructive pulmonary disease, asthma, and multiple sclerosis, were prepared Thus, refluxing 5-chloro-2-(3-pyrrolidinyloxy)pyridine with N-[2-(2-oxiranylmethoxy)phenyl]benzamide (prepns. given) in DMSO afforded II.

IT 462114-22-3P 462114-23-4P 462114-24-5P
462114-25-6P 462114-26-7P 462114-27-8P
462114-28-9P 462114-29-0P 462114-30-3P
462114-31-4P 462114-32-5P 462114-33-6P
462114-34-7P 462114-35-8P 462114-36-9P
462114-37-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel amides as modulators of CCR-receptor activity)

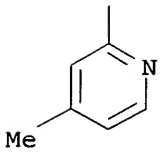
RN 462114-22-3 CAPLUS

CN Benzamide, N-[2-[3-[3-[(5-chloro-2-pyridinyl)oxy]-1-pyrrolidinyl]-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

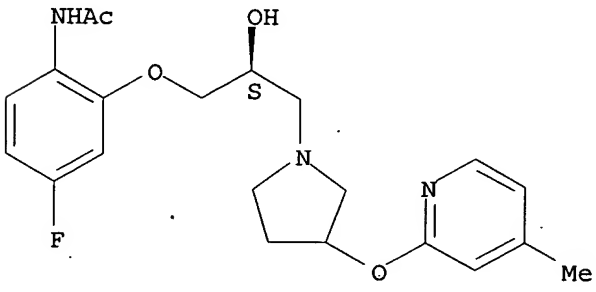


PAGE 2-A



RN 462114-37-0 CAPLUS
CN Acetamide, N-[4-fluoro-2-[(2S)-2-hydroxy-3-[3-[(4-methyl-2-pyridinyl)oxy]-1-pyrrolidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:736249 CAPLUS

10/520,699

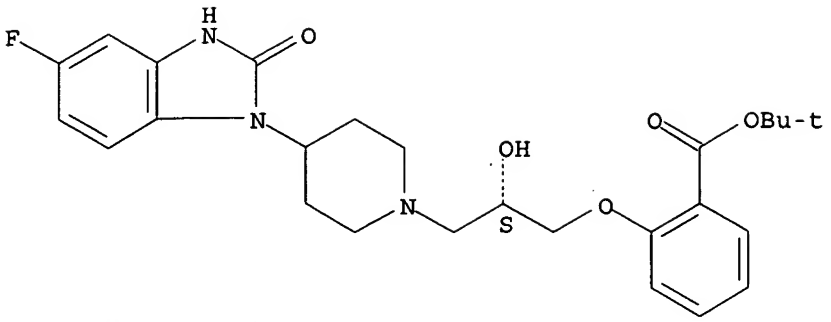
DOCUMENT NUMBER: 137:247698
TITLE: Preparation of benzimidazol derivatives as modulators of chemokine receptors
INVENTOR(S): Eriksson, Tomas; Ivanova, Svetlana; Loenn, Hans
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074763	A1	20020926	WO 2002-SE509	20020318
WO 2002074763	C2	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442268	AA	20020926	CA 2002-2442268	20020318
EP 1373248	A1	20040102	EP 2002-708875	20020318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008205	A	20040302	BR 2002-8205	20020318
CN 1509281	A	20040630	CN 2002-810228	20020318
JP 2004527513	T2	20040909	JP 2002-573772	20020318
NZ 528142	A	20050429	NZ 2002-528142	20020318
ZA 2003006654	A	20041126	ZA 2003-6654	20030826
NO 2003004130	A	20031118	NO 2003-4130	20030916
US 2004116435	A1	20040617	US 2003-472412	20030916
PRIORITY APPLN. INFO.:			SE 2001-966 A	20010319
			SE 2001-2807 A	20010822
			WO 2002-SE509 W	20020318

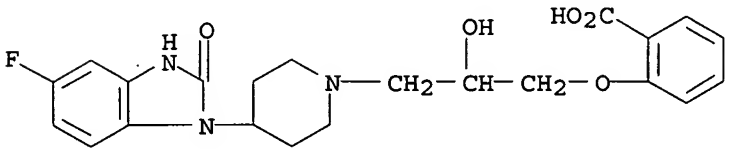
OTHER SOURCE(S): MARPAT 137:247698
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = O, NH; X = N, CH; m = 0-3; R1 = halo, cyano, nitro, carboxy, hydroxy, alkyl, cycloalkyl, alkoxy, alkoxycarbonyl, haloalkyl, haloalkoxy, etc.; n = 0-2; R2 = alkyl, alkoxycarbonyl, CH2OH, carboxy; Z1 = bond, alkyl; Z2 = bond, CH2 with the proviso that Z1, Z2 do not both simultaneously represent bond; Q = O, S, CH2, NH; R3 = amido, carboxamido, amino, alkoxy or R3 together with the six-membered ring to which it is attached forms a benzoxazole, indole; R4-7 = H, alkyl, etc.; R8 = H, alkyl, etc.; q = 0-3; R16 = halo, cyano, nitro, carboxy, hydroxy, cycloalkyl, alkoxy, alkoxycarbonyl, haloalkyl, haloalkoxy, etc.] were prepared For instance, 2-aminophenol was reacted with tert-Bu 4-oxo-1-piperidinecarboxylate (THF-HOAc, NaHB(OAc)3) and the resulting amine treated with carbonyldiimidazole (THF) to give 3-(4-Piperidinyl)-1,3-benzoxazol-2(3H)-one. This intermediate was reacted with N-[2-(2-oxiranylmethoxy)phenyl]acetamide to give II as a white powder. I modulate chemokine receptors and are used in the treatment of treating rheumatoid arthritis, COPD, asthma, etc.



RN 460047-85-2 CAPLUS
CN Benzoic acid, 2-[3-[4-(5-fluoro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



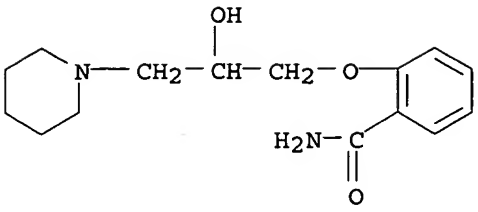
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:234509 CAPLUS
DOCUMENT NUMBER: 137:93732
TITLE: Synthesis of new salicylamide derivatives with evaluation of their antiinflammatory, analgesic and antipyretic activities
AUTHOR(S): Fahmy, H. H.; Soliman, G. A.
CORPORATE SOURCE: Therapeutical Chemistry Department, National Research Centre, Cairo, Egypt
SOURCE: Archives of Pharmacal Research (2001), 24(3), 180-189
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:93732

AB A new series of pyridazine, pyrazoles, pyrazolidine-3,5-dione, semicarbazide, thiosemicarbazides, hydantoin, thiohydantoins, 1,2,4-triazoles, S-triazolo[3,4-b]-1,3,4-thiadiazoles incorporated indirectly into salicylamide moiety at position 2 were synthesized. Also the synthesis of novel series of 3-salicylamido-2-hydroxypropyl amine derivs. were prepared Several of these compds. were screened for antiinflammatory, analgesic, antipyretic and ulcerogenic activities.

IT 42043-02-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of salicylamide derivs. and their antiinflammatory, analgesic and antipyretic activities)

RN 42043-02-7 CAPLUS
CN Benzamide, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]- (6CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:51458 CAPLUS
DOCUMENT NUMBER: 136:118479
TITLE: Preparation of new bispidine compounds for the treatment of cardiac arrhythmias
INVENTOR(S): Andersson, Kjell; Bjoere, Annika; Bjoersne, Magnus; Ponten, Fritiof; Strandlund, Gert; Svensson, Peder; Tottie, Louise
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004446	A1	20020117	WO 2001-SE1544	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412848	AA	20020117	CA 2001-2412848	20010704
EP 1301510	A1	20030416	EP 2001-950132	20010704
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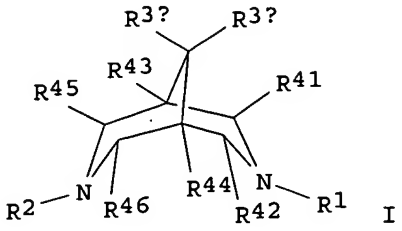
BR 2001012267	A	20030520	BR 2001-12267	20010704
JP 2004502772	T2	20040129	JP 2002-509311	20010704
NZ 523540	A	20040730	NZ 2001-523540	20010704
EE 200300013	A	20041015	EE 2003-13	20010704
ZA 2002010160	A	20040315	ZA 2002-10160	20021213
NO 2003000057	A	20030131	NO 2003-57	20030106
US 2003212095	A1	20031113	US 2003-332103	20030514
PRIORITY APPLN. INFO.:			SE 2000-2603 A	20000707
			SE 2000-2788 A	20000727
			WO 2001-SE1544 W	20010704

OTHER SOURCE(S): MARPAT 136:118479
GI



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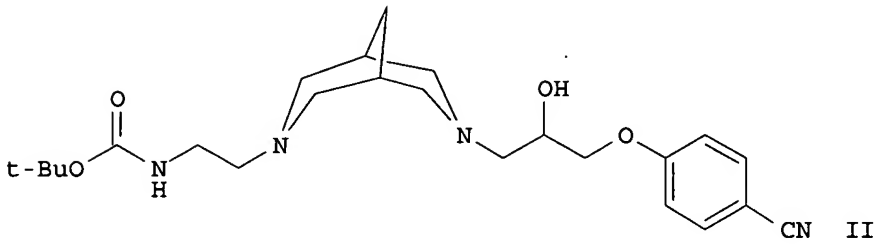


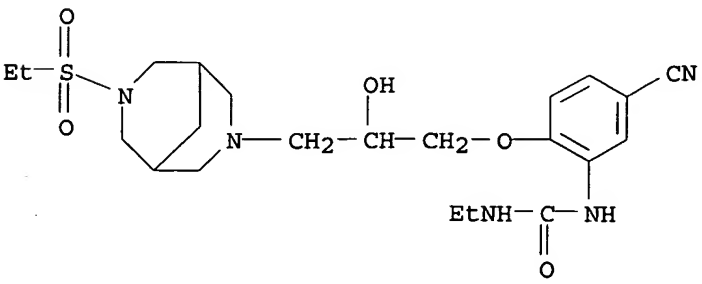
II

AB The title compds. [I; R1 = ACR4R5BR6 (wherein R4 = H, halo, alkyl, etc.; or R4, together with R5, = O; R5 = H, alkyl,; A = a bond, alkylene, etc.; B = a bond, alkylene, etc.; R6 = (un)substituted aryl, 5-12 membered heterocyclyl containing one or more heteroatoms selected from O, N and/or S); R2 = CN, (un)substituted 5-12 membered heterocyclyl containing one or more heteroatoms selected from O, N and/or S, etc.; R3a, R3b = H, alkyl, etc.; or R3a and R3b together = alkylene, O(alkylene)O, etc.; R41-R46 = H, alkyl] which are useful in the prophylaxis and in the treatment of arrhythmias, in particular atrial and ventricular arrhythmias, were prepared E.g., a 3-step synthesis of II was given. The exemplified compds. I showed pIC50 of at least 5.5 in glucocorticoid-treated mouse fibroblasts as a model to detect blockers of the delayed rectifier K current.

IT 389886-04-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new bispidine compds. for the treatment of cardiac arrhythmias)

RN 389886-04-8 CAPLUS

CN 3,7-Diazabicyclo[3.3.1]nonane-3-ethanol, α-[[4-cyano-2-[[(ethylamino)carbonyl]amino]phenoxy]methyl]-7-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

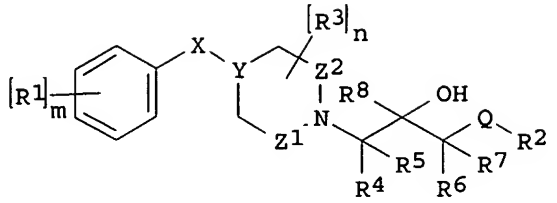


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935577 CAPLUS
DOCUMENT NUMBER: 136:69733
TITLE: Preparation of N-2-[3-(3-phenoxypyrrolidin-1-yl)-2-hydroxypropoxy]phenyl amides as chemokine receptor modulators
INVENTOR(S): Eriksson, Tomas; Henriksson, Krister
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098272	A1	20011227	WO 2001-SE1378	20010614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2411255	AA	20011227	CA 2001-2411255	20010614
BR 2001011669	A	20030401	BR 2001-11669	20010614
EP 1299356	A1	20030409	EP 2001-941407	20010614
EP 1299356	B1	20040211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501137	T2	20040115	JP 2002-504228	20010614
AT 259354	E	20040215	AT 2001-941407	20010614
NZ 523110	A	20040528	NZ 2001-523110	20010614
PT 1299356	T	20040531	PT 2001-941407	20010614
EE 200200697	A	20040816	EE 2002-697	20010614
ES 2214427	T3	20040916	ES 2001-1941407	20010614
RU 2261245	C2	20050927	RU 2002-132380	20010614
ZA 2002009906	A	20040305	ZA 2002-9906	20021205
US 2003153555	A1	20030814	US 2002-311667	20021217
US 7005439	B2	20060228		
NO 2002006081	A	20030204	NO 2002-6081	20021218
HK 1051372	A1	20040723	HK 2003-103703	20030526
US 2005239801	A1	20051027	US 2005-157742	20050621
PRIORITY APPLN. INFO.:			SE 2000-2330 A	20000620
			SE 2000-3980 A	20001031
			WO 2001-SE1378 W	20010614
			US 2002-311667 A3	20021217

OTHER SOURCE(S): MARPAT 136:69733
GI



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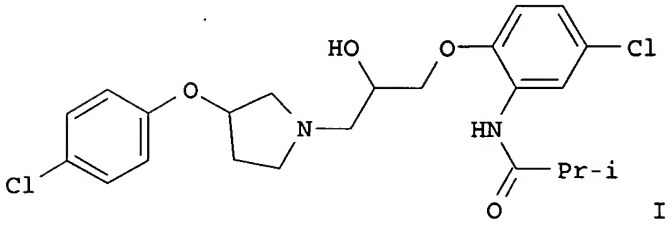

II

AB The title compds. [I; m = 0-3; R1 = halo, CN, NO2, etc.; X = O, CH2, NH, etc.; Y = N, CH, C(OH) (provided that when X = O, CH2O, CH2NH, NH, then Y = CH); Z1 = a bond, (CH2)q; q = 1-2; Z2 = a bond, CH2 (with the proviso that Z1 and Z2 do not both represent a bond); Q = O, S, CH2, NH; R2 = II (R15 = alkyl, cycloalkyl, Ph, etc.; t = 0-3; R16 = halo, CN, NO2, etc.); n = 0-2; R3 = alkyl, alkoxycarbonyl, CH2OH, CO2H; R4-R7 = H, alkyl; or R4-R7 together represent alkylene; or R5-R7 = H and R4 and R8 together form 5-6 membered saturated carbocycle; R8 = H, alkyl], useful in treating human diseases or conditions in which modulation of chemokine receptor activity is beneficial (no biol. data given) such as rheumatoid arthritis, chronic obstructive pulmonary disease, asthma, and multiple sclerosis, were prepared Thus, amidation of 4-chloro-2-aminophenol and isobutyric anhydride followed by treating the resulting N-(5-chloro-2-hydroxyphenyl)isobutyramide with epibromohydrin, and reacting N-(5-chloro-2-oxiranylmethoxyphenyl)isobutyramide with 3-(4-chlorophenoxy)pyrrolidine afforded II.HCl.

IT 383886-91-7P 383886-92-8P 383886-93-9P
383886-95-1P 383886-96-2P 383886-97-3P
383886-98-4P 383886-99-5P 383887-00-1P
383887-01-2P 383887-02-3P 383887-03-4P
383887-04-5P 383887-05-6P 383887-06-7P
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383887-22-7P 383887-23-8P 383887-25-0P
383887-27-2P 383887-29-4P 383887-31-8P
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383887-40-9P 383887-42-1P 383887-44-3P
383887-46-5P 383887-48-7P 383887-50-1P
383887-52-3P 383887-54-5P 383887-56-7P
383887-58-9P 383887-60-3P 383887-62-5P
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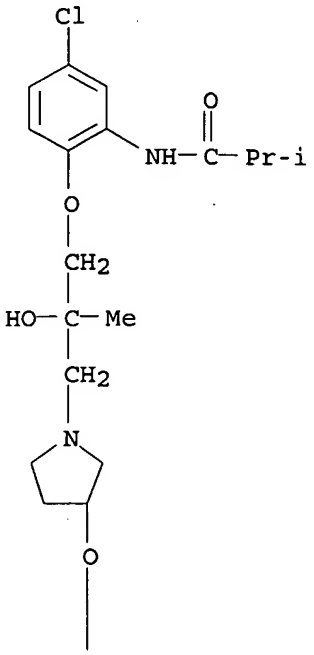
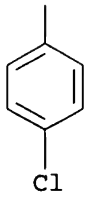
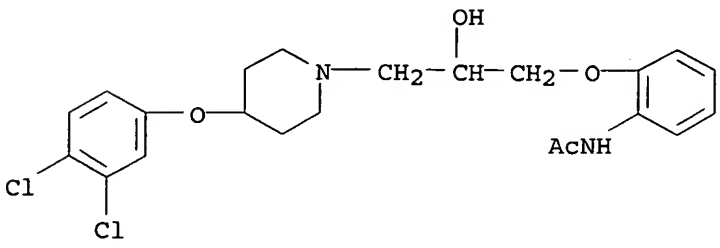
PAGE 1-A



PAGE 2-A



IT 356552-86-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-2-[3-(3-phenoxypyrrolidin-1-yl)-2-hydroxypropoxy]phenyl amides as chemokine receptor modulators)
RN 356552-86-8 CAPLUS
CN Acetamide, N-[2-[3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:636072 CAPLUS
DOCUMENT NUMBER: 135:195502
TITLE: Preparation of substituted 1-phenoxy-3-pyrrolidino(or piperidino)propan-2-ols as chemokine receptor modulators
INVENTOR(S): Hansen, Peter; Pettersson, Lars
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062757	A1	20010830	WO 2001-SE405	20010223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400435	AA	20010830	CA 2001-2400435	20010223
BR 2001008678	A	20021203	BR 2001-8678	20010223
EP 1263760	A1	20021211	EP 2001-908559	20010223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003524011	T2	20030812	JP 2001-562539	20010223
PT 1263725	T	20050228	PT 2001-908558	20010223
ES 2227140	T3	20050401	ES 2001-1908558	20010223
PT 1263724	T	20050930	PT 2001-908557	20010223
ES 2241796	T3	20051101	ES 2001-1908557	20010223
ZA 2002006402	A	20031112	ZA 2002-6402	20020812
ZA 2002006404	A	20031112	ZA 2002-6404	20020812
NO 2002003932	A	20021024	NO 2002-3932	20020819
ZA 2002006665	A	20031120	ZA 2002-6665	20020820
US 2003144267	A1	20030731	US 2002-204789	20021018
US 6927222	B2	20050809		

PRIORITY APPLN. INFO.:	SE 2000-620	A	20000225
	SE 2000-2234	A	20000614
	SE 2000-3979	A	20001031
	WO 2001-SE405	W	20010223

OTHER SOURCE(S): MARPAT 135:195502
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

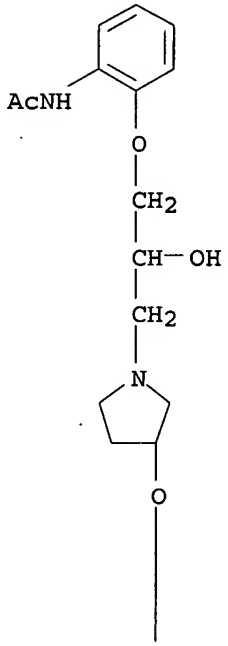
AB The title compds. RCR4R5CR8(OH)CR6R7QR2 [R = I; m = 0-3; R1 = halo, CN, NO2, etc.; Q = O, S, CH2, NH; R2 = II-VII; R4-R7 = H, alkyl; or R4-R7 together = alkylene linking the two carbon atoms to which they are attached to form a 4-7 membered saturated carbocycle; or R5-R7 = H and R4 and R8 together with the carbon atoms to which they are attached form 5-6 membered saturated carbocycle; R8 = H, alkyl; R15 = CO2H, alkylcarbonyl, alkoxycarbonyl, etc.; t = 0-3; R16 = halo, CN, NO2, etc.] and their salts,

useful for treating of human diseases in which modulation of chemokine receptor activity is beneficial, were prepared Thus, reacting 3-(4-chlorophenoxy)pyrrolidine (preparation given) with N-acetyl-2-(2,3-epoxypropoxy)aniline in EtOH afforded the title compound VIII.HCl. The compds. of the examples were evaluated by their ability to depress the chemotactic response to a standard concentration of MIP-1α chemokine (no data given).

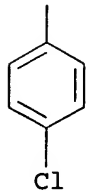
IT 356552-84-6P 356552-86-8P 356556-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted 1-phenoxy-3-pyrrolidino(or piperidino)propan-2-ols as chemokine receptor modulators)

RN 356552-84-6 CAPLUS
CN Acetamide, N-[2-[3-[3-(4-chlorophenoxy)-1-pyrrolidinyl]-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)

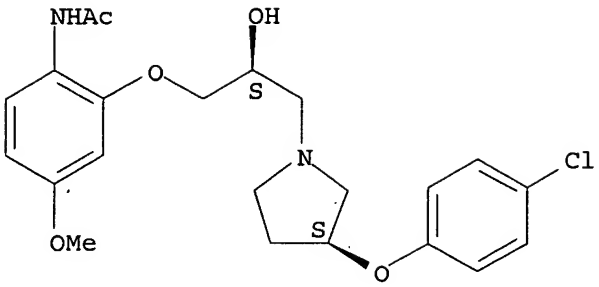
PAGE 1-A



PAGE 2-A



RN 356552-86-8 CAPLUS
CN Acetamide, N-[2-[3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:636047 CAPLUS
DOCUMENT NUMBER: 135:195501
TITLE: Preparation of substituted 1-phenoxy-3-pyrrolidino(or piperidino)propan-2-ols as chemokine receptor modulators
INVENTOR(S): Hansen, Peter; Pettersson, Lars
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062729	A1	20010830	WO 2001-SE404	20010223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400434	AA	20010830	CA 2001-2400434	20010223
BR 2001008677	A	20021112	BR 2001-8677	20010223
EP 1263725	A1	20021211	EP 2001-908558	20010223
EP 1263725	B1	20041020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003523999	T2	20030812	JP 2001-561737	20010223
NZ 520719	A	20040625	NZ 2001-520719	20010223
AT 280153	E	20041115	AT 2001-908558	20010223
PT 1263725	T	20050228	PT 2001-908558	20010223
ES 2227140	T3	20050401	ES 2001-1908558	20010223
PT 1263724	T	20050930	PT 2001-908557	20010223
AU 783475	B2	20051027	AU 2001-36300	20010223
ES 2241796	T3	20051101	ES 2001-1908557	20010223
ZA 2002006402	A	20031112	ZA 2002-6402	20020812
ZA 2002006404	A	20031112	ZA 2002-6404	20020812
NO 2002003933	A	20021024	NO 2002-3933	20020819
ZA 2002006665	A	20031120	ZA 2002-6665	20020820
US 2003158225	A1	20030821	US 2002-204754	20021021
US 6951874	B2	20051004		

PRIORITY APPLN. INFO.:

SE 2000-620	A	20000225
SE 2000-2234	A	20000614
SE 2000-3979	A	20001031
WO 2001-SE404	W	20010223

OTHER SOURCE(S): MARPAT 135:195501
GI

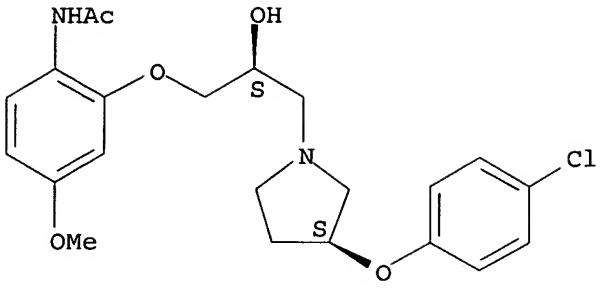
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. RCR4R5CR8(OH)CR6R7QR2 [I; R = II; m = 0-3; R1 = halo, CN, NO2, etc.; p = 0-1; X = O, S, CH2, etc.; Y = N, CH, C(OH) (provided that when X = O, S, CH2O, CH2NH, NH, then Y = CH); Z1 = a bond, (CH2)q (q = 1-2); Z2 = a bond, CH2 (with the proviso that Z1 and Z2 do not both simultaneously = a bond); Q = O, S, CH2, NH; R2 = III-VII; n = 0-2; R3 = alkyl, alkoxycarbonyl, CH2OH, CO2H; R4-R7 = H, alkyl; or R4-R7 together = alkylene linking the two carbon atoms to which they are attached; or R5-R7 = H and R4 and R8 together with the carbon atoms to which they are attached form 5-6 membered saturated carbocycle; R8 = H, alkyl] and their salts, useful for treating of human diseases in which modulation of chemokine receptor activity is beneficial, were prepared Thus, reacting 3-(4-chlorophenoxy)pyrrolidine (preparation given) with N-acetyl-2-(2,3-epoxypropoxy)aniline in EtOH afforded the title compound VIII. The compds. of the examples were evaluated by their ability to depress the chemotactic response to a standard concentration of MIP-1α chemokine (no data given).

IT 356552-84-6P 356552-86-8P 356556-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted 1-phenoxy-3-pyrrolidino(or piperidino)propan-2-ols as chemokine receptor modulators)

RN 356552-84-6 CAPLUS

CN Acetamide, N-[2-[3-[3-(4-chlorophenoxy)-1-pyrrolidinyl]-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

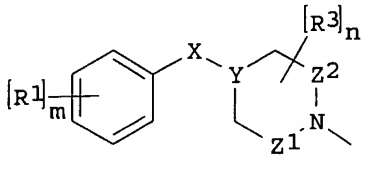
L11 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:636046 CAPLUS
DOCUMENT NUMBER: 135:210941
TITLE: Preparation of substituted 1-phenoxy-3-pyrrolidino(or piperidino)propan-2-ols as chemokine receptor modulators
INVENTOR(S): Bodkin, Michael; Eriksson, Tomas; Hansen, Peter; Hemmerling, Martin; Henriksson, Krister; Klingstedt, Tomas; Pettersson, Lars
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062728	A1	20010830	WO 2001-SE403	20010223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400293	AA	20010830	CA 2001-2400293	20010223
BR 2001008679	A	20021126	BR 2001-8679	20010223
EP 1263724	A1	20021211	EP 2001-908557	20010223
EP 1263724	B1	20050518		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003523998	T2	20030812	JP 2001-561736	20010223
EE 200200470	A	20031215	EE 2002-470	20010223
NZ 520718	A	20040924	NZ 2001-520718	20010223
PT 1263725	T	20050228	PT 2001-908558	20010223
ES 2227140	T3	20050401	ES 2001-1908558	20010223
AT 295833	E	20050615	AT 2001-908557	20010223
PT 1263724	T	20050930	PT 2001-908557	20010223
ES 2241796	T3	20051101	ES 2001-1908557	20010223
AU 783496	B2	20051103	AU 2001-36299	20010223
RU 2265011	C2	20051127	RU 2002-122100	20010223
ZA 2002006402	A	20031112	ZA 2002-6402	20020812
ZA 2002006404	A	20031112	ZA 2002-6404	20020812

NO 2002003934	A	20021007	NO 2002-3934		20020819
ZA 2002006665	A	20031120	ZA 2002-6665		20020820
US 2003149047	A1	20030807	US 2002-204790		20021021
US 6943188	B2	20050913			
HK 1048990	A1	20051007	HK 2003-101130		20030217
PRIORITY APPLN. INFO.:			SE 2000-620	A	20000225
			SE 2000-2234	A	20000614
			SE 2000-3979	A	20001031
			WO 2001-SE403	W	20010223

OTHER SOURCE(S): MARPAT 135:210941

GI



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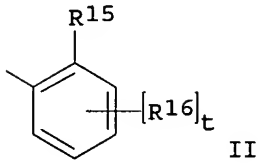

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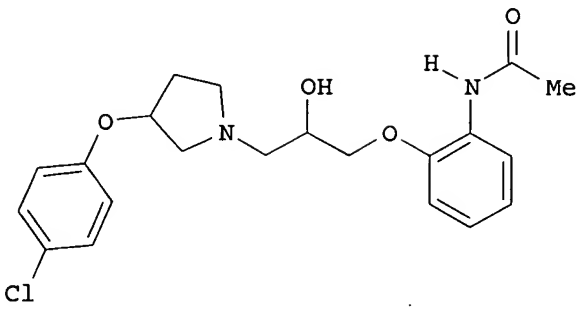


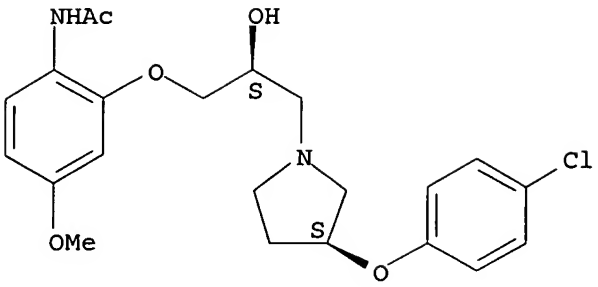
III

AB The title compds. RCR4R5CR8(OH)CR6R7QR2 [R = I; m = 0-3; R1 = halo, CN, NO2, etc.; p = 0-1; X = O, S, CH2, etc.; Y = N, CH, C(OH) (provided that when X = O, S, CH2O, CH2NH, NH, then Y = CH); Z1 = a bond, (CH2)q (q = 1-2); Z2 = a bond, CH2 (with the proviso that Z1 and Z2 do not both simultaneously = a bond); Q = O, S, CH2, NH; R2 = II; n = 0-2; R3 = alkyl, alkoxycarbonyl, CH2OH, CO2H; R4-R7 = H, alkyl; or R4-R7 together = alkylene linking the two carbon atoms to which they are attached; or R5-R7 = H and R4 and R8 together with the carbon atoms to which they are attached form 5-6 membered saturated carbocycle; R8 = H, alkyl; R15 = CO2H, alkylcarbonyl, alkoxycarbonyl, etc.; t = 1-3; R16 = halo, CN, NO2, etc.] and their salts, useful for treating of human diseases in which modulation of chemokine receptor activity is beneficial, were prepared Thus, reacting 3-(4-chlorophenoxy)pyrrolidine (preparation given) with N-acetyl-2-(2,3-epoxypropoxy)aniline in EtOH afforded the title compound III.HCl. The compds. of the examples were evaluated by their ability to depress the chemotactic response to a standard concentration of MIP-1α chemokine (no data given).

IT 356552-84-6P 356552-86-8P 356556-22-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted 1-phenoxy-3-pyrrolidino(or piperidino)propan-2-ols as chemokine receptor modulators)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:900637 CAPLUS
DOCUMENT NUMBER: 134:56700
TITLE: Preparation of new bispidines useful in the treatment of cardiac arrhythmias
INVENTOR(S): Alstermark, Christer; Andersson, Kjell; Bjore, Annika; Bjorsne, Magnus; Lindstedt, Alstermark Eva-Lotte; Nilsson, Goran; Polla, Magnus; Strandlund, Gert; Ortengren, Ylva
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077000	A1	20001221	WO 2000-SE1254	20000615
WO 2000077000	C2	20030619		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375841	AA	20001221	CA 2000-2375841	20000615
BR 2000011660	A	20020326	BR 2000-11660	20000615
EP 1192157	A1	20020403	EP 2000-946589	20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103663	T2	20020521	TR 2001-3663	20000615
JP 2003502329	T2	20030121	JP 2001-503858	20000615
EE 200100675	A	20030217	EE 2001-675	20000615
AU 761576	B2	20030605	AU 2000-60324	20000615
NZ 516013	A	20030630	NZ 2000-516013	20000615
RU 2250903	C2	20050427	RU 2001-132563	20000615
ZA 2001009796	A	20030228	ZA 2001-9796	20011128
NO 2001006117	A	20020215	NO 2001-6117	20011214
US 2004229900	A1	20041118	US 2004-871022	20040621
PRIORITY APPLN. INFO.:			SE 1999-2268 A	19990616
			WO 2000-SE1254 W	20000615

US 2000-623726 B1 20000907

OTHER SOURCE(S): MARPAT 134:56700

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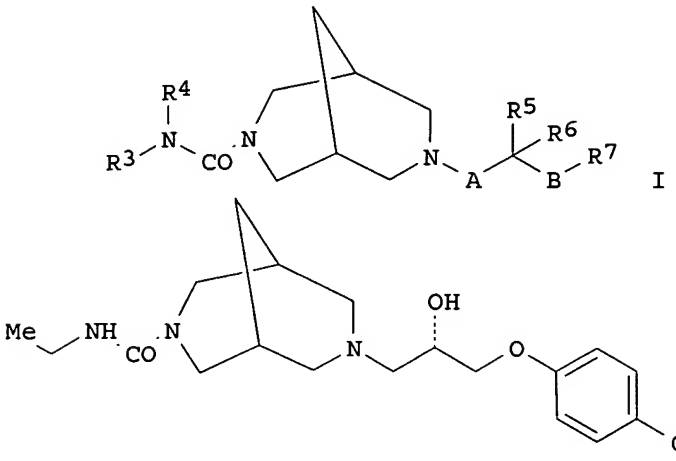


I

II

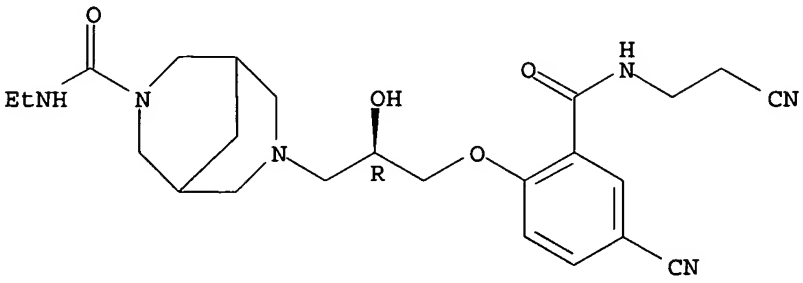
AB Bispidines, such as I [R3 = H, alkyl; R4 = H, alkyl, alkoxy; NR3R4 = heterocyclyl; R5 = H, halogen, alkyl, alkoxy, acyloxy, alkylsulfonyloxy, carbamoyl, etc.; R6 = H, alkyl; R5R6 = O; R7 = alkyl, aryl, heterocyclyl; A, B = bond, linking group, such as alkylene, etc.], were prepared for pharmaceutical use in the treatment of cardiac arrhythmias, in particular atrial and ventricular arrhythmias. Thus, bispidine II was prepared with 51% yield by amidation of (S)-4-[3-(3,7-diazabicyclo[3.3.1]non-3-yl)-2-hydroxypropoxy]benzonitrile with Et isocyanate. The prepared bispidines were tested for primary electrophysiol. effects in anesthetized guinea pigs.

IT 313475-82-0P 313475-84-2P 313476-34-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new bispidines useful in the treatment of cardiac arrhythmias)

RN 313475-82-0 CAPLUS

CN 3,7-Diazabicyclo[3.3.1]nonane-3-carboxamide, 7-[(2R)-3-[4-cyano-2-[[(2-cyanoethyl)amino]carbonyl]phenoxy]-2-hydroxypropyl]-N-ethyl- (9CI) (CA INDEX NAME)

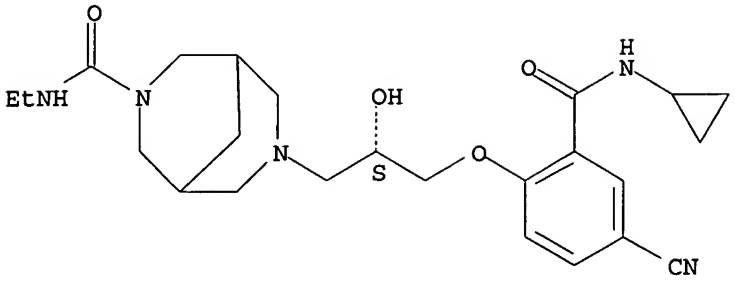
Absolute stereochemistry.



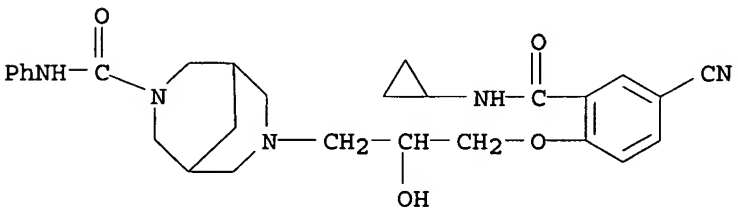
RN 313475-84-2 CAPLUS

CN 3,7-Diazabicyclo[3.3.1]nonane-3-carboxamide, 7-[(2S)-3-[4-cyano-2-[(cyclopropylamino)carbonyl]phenoxy]-2-hydroxypropyl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313476-34-5 CAPLUS
CN 3,7-Diazabicyclo[3.3.1]nonane-3-carboxamide, 7-[3-[4-cyano-2-[(cyclopropylamino)carbonyl]phenoxy]-2-hydroxypropyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:769086 CAPLUS
DOCUMENT NUMBER: 133:335159
TITLE: Preparation of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants
INVENTOR(S): Arnaiz, Damian O.; Chou, Yuo-ling; Griedel, Brian D.; Karanjawala, Rushad E.; Kochanny, Monica J.; Lee, Wheeseong; Liang, Amy Mei; Morrissey, Michael M.; Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Steven T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung C.; Ye, Bin; Zhao, Zuchun
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA
SOURCE: U.S., 113 pp., Cont.-in-part of U.S. Ser. No. 994,284, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140351	A	20001031	US 1998-187459	19981105
CA 2315070	AA	19990701	CA 1998-2315070	19981127
WO 9932477	A1	19990701	WO 1998-EP7650	19981127

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,

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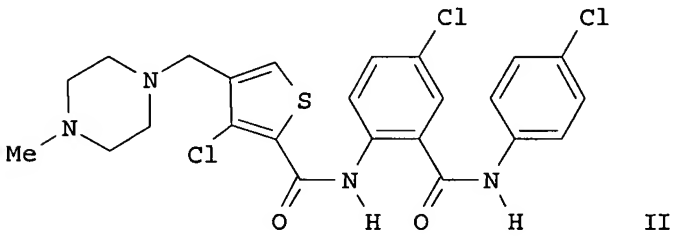
AU 9918759		A1	19990712	AU 1999-18759	19981127
AU 751856		B2	20020829		
EP 1040108		A1	20001004	EP 1998-963519	19981127
EP 1040108		B1	20040225		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001526283		T2	20011218	JP 2000-525414	19981127
JP 3811006		B2	20060816		
NZ 503809		A	20020426	NZ 1998-503809	19981127
AT 260103		E	20040315	AT 1998-963519	19981127
RU 2226529		C2	20040410	RU 2000-119756	19981127
PT 1040108		T	20040630	PT 1998-963519	19981127
ES 2215337		T3	20041001	ES 1998-963519	19981127
ZA 9811599		A	19990817	ZA 1998-11599	19981217
NO 2000003111		A	20000818	NO 2000-3111	20000616
US 6380221		B1	20020430	US 2000-631450	20000803
US 6498185		B1	20021224	US 2000-631452	20000803
PRIORITY APPLN. INFO.:				US 1997-994284	B2 19971219
				US 1998-187459	A 19981105
				WO 1998-EP7650	W 19981127

OTHER SOURCE(S): MARPAT 133:335159

GI



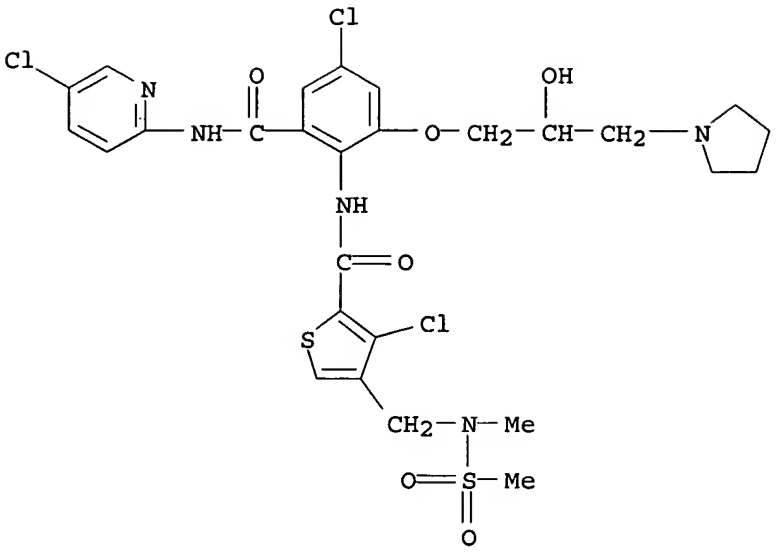
II

AB REZDR3 [I; D,E = Z1NR5C(:X), Z1NR5SO0-2, etc.; R,R3 = (un)substituted heterocyclyl or -aryl; R5 = H, (ar)alkyl, aryl; X = O, S, H2; Z = (un)substituted heterocyclylene or -arylene; Z1 = bond, alkylene, alkylidene, etc.] were prepared as factor Xa, thrombin, and prothrombinase inhibitors. Thus, H2NZCONHC6H4Cl-4 (Z = 4-chloro-1,2-phenylene)(preparation given) was N-acylated by 3-chloro-4-chloromethyl-2-thiophenecarbonyl chloride and the product aminated by 1-methylpiperazine to give title compound II. Data for biol. activity of I were given.

IT 229337-80-8P 229337-81-9P 229341-96-2P
229341-97-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants)

RN 229337-80-8 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-hydroxy-3-(1-pyrrolidinyl)propoxy]phenyl]-4-[[methyl(methylsulfonyl)amino]methyl]- (9CI) (CA INDEX NAME)



CM 2

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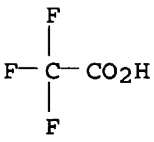


REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

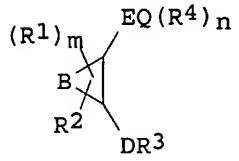
L11 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:421679 CAPLUS
DOCUMENT NUMBER: 131:87925
TITLE: Preparation of heteroarylcarbonylaminobenzamides and related compounds as anticoagulants.
INVENTOR(S): Arnaiz, Damian O.; Chou, Yuo-Ling; Karanjawala, Rushad E.; Kochanny, Monica J.; Lee, Wheeseong; Liang, Amy Mei; Morrissey, Michael M.; Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Stephen T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung C.; Ye, Bin; Zhao, Zuchun; Griedel, Brian D.
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932477	A1	19990701	WO 1998-EP7650	19981127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,				

	KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US	6140351	A	20001031	US 1998-187459	19981105
CA	2315070	AA	19990701	CA 1998-2315070	19981127
AU	9918759	A1	19990712	AU 1999-18759	19981127
AU	751856	B2	20020829		
EP	1040108	A1	20001004	EP 1998-963519	19981127
EP	1040108	B1	20040225		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP	2001526283	T2	20011218	JP 2000-525414	19981127
JP	3811006	B2	20060816		
NZ	503809	A	20020426	NZ 1998-503809	19981127
AT	260103	E	20040315	AT 1998-963519	19981127
RU	2226529	C2	20040410	RU 2000-119756	19981127
NO	2000003111	A	20000818	NO 2000-3111	20000616
PRIORITY APPLN. INFO.:				US 1997-994284 A	19971219
				US 1998-187459 A	19981105
				WO 1998-EP7650 W	19981127

OTHER SOURCE(S): MARPAT 131:87925

GI



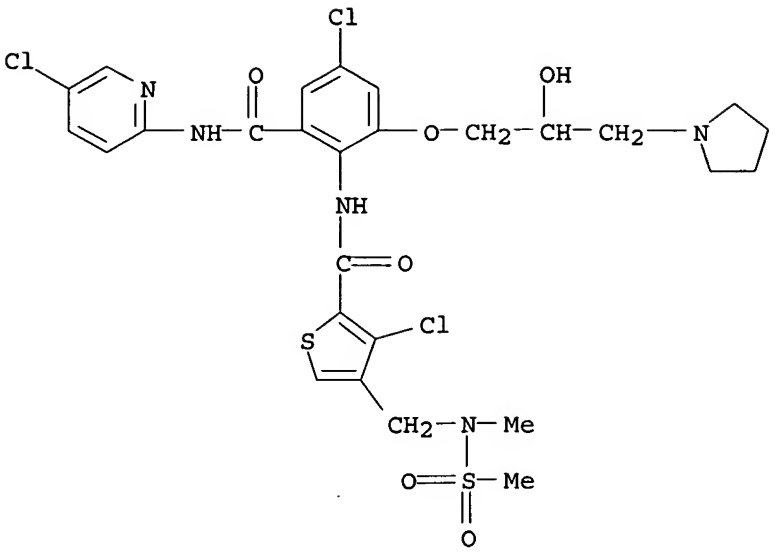
I

AB Title compds. [I; m = 1-3; n = 1-5; B, Q = atoms to form aryl, heterocyclyl rings; D, E = NR5CX; R8NR5CX, NR5SOp, etc.; p = 0-2; X = O, S, H2; R1 = H, alkyl, aryl, aralkyl, halo, haloalkyl, cyano, OR5, CO2R5, NR5R6, CONR5R6 (substituted) heterocyclyl, etc.; R2 = H, alkyl, aryl, aralkyl, halo, haloalkyl, cyano, OR5, CO2R5, CONR5R6, etc.; R3 = (substituted) heterocyclyl, aryl; R4 = H, alkyl, halo, haloalkyl, cyano, NO2, OR5, CO2R5, NR5R6, etc.; R5, R6 = H, alkyl, aryl, aralkyl; R8 = alkylene, alkenylene, alkynylene], were prepared Thus, N-(4-chlorophenyl)-2-[[(4-chloromethyl)-3-chlorothiophen-2-ylcarbonyl]amino]-3-methoxy-5-chlorobenzamide in DMF at 0° was treated with N-methylpiperazine followed by stirring to room temperature to give N-(4-chlorophenyl)-2-[[[4-[(4-methylpiperazin-1-yl)methyl]-3-chlorothiophen-2-yl]carbonyl]amino]-3-methoxy-5-chlorobenzamide. Title compds. routinely inhibited Factor Xa with Ki<3 nM. An aerosol formulation is given.

IT 229337-80-8P 229337-81-9P 229341-96-2P
229341-97-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarbonylaminobenzamides and related compds. as anticoagulants)

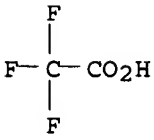
RN 229337-80-8 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-hydroxy-3-(1-pyrrolidinyl)propoxy]phenyl]-4-[[methyl(methylsulfonyl)amino]methyl]- (9CI) (CA INDEX NAME)



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:404964 CAPLUS
DOCUMENT NUMBER: 131:58860
TITLE: Preparation of 3,7-diazabicyclo[3.3.1]nonane-3-carboxylates as antiarrhythmic agents
INVENTOR(S): Strandlund, Gert; Alstermark, Christer; Bjore, Annika; Bjorsne, Magnus; Frantsi, Marianne; Halvarsson, Torbjorn; Hoffmann, Kurt-Jurgen; Lindstedt, Eva-Lotte; Polla, Magnus
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931100	A1	19990624	WO 1998-SE2276	19981210

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,

	TR, TT, UA, UG, US, UZ, VN, YU, ZW					
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	ZA 9811130	A	19990617	ZA 1998-11130		19981204
	CA 2314490	AA	19990624	CA 1998-2314490		19981210
	AU 9917953	A1	19990705	AU 1999-17953		19981210
	TR 200001757	T2	20000921	TR 2000-200001757		19981210
	BR 9813668	A	20001017	BR 1998-13668		19981210
	EP 1047695	A1	20001102	EP 1998-962796		19981210
	EP 1047695	B1	20040317			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
	EE 200000365	A	20011015	EE 2000-365		19981210
	JP 2002508375	T2	20020319	JP 2000-539024		19981210
	AT 261964	E	20040415	AT 1998-962796		19981210
	PT 1047695	T	20040730	PT 1998-962796		19981210
	ES 2216337	T3	20041016	ES 1998-962796		19981210
	US 6291475	B1	20010918	US 1999-214756		19990112
	NO 2000003137	A	20000817	NO 2000-3137		20000616
PRIORITY APPLN. INFO.:				SE 1997-4709	A	19971217
				WO 1998-SE2276	W	19981210

OTHER SOURCE(S): MARPAT 131:58860

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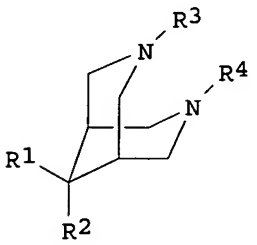


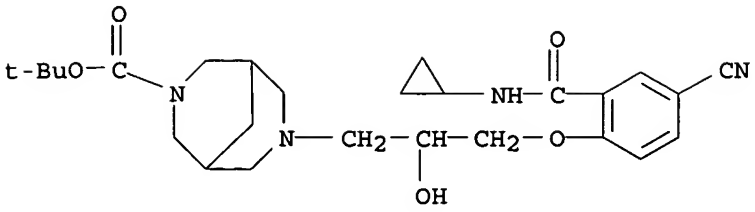
I

AB Title compds. [I; R1,R2 = H or alkyl; R1R2 = OCH2CH2O, (CH2)4-5; R3 = CCR10R11AR; A = bond, alkylene, (CH2)nZ, CONR20, etc.; B = bond, alkylene, NR23(CH2)r, O(CH2)r; R = (un)substituted Ph; R4 = COXR9; R9 = alkyl, (un)substituted phenyl(alkyl), -naphthyl; R10 = H or OH; R11,R20,R23 = H or alkyl; X = O or S; Z = NR20, SO0-2, O; n,r = 0-4] were prepared Thus, 4-(NC)C6H4OH was condensed with epichlorohydrin and the product aminated by I (R1 = R2 = H, R4 = CO2CMe3)(II; R3 = H)(preparation given) to give II [R3 = CH2CH(OH)CH2OC6H4(CN)-4]. Data for biol. activity of I were given.

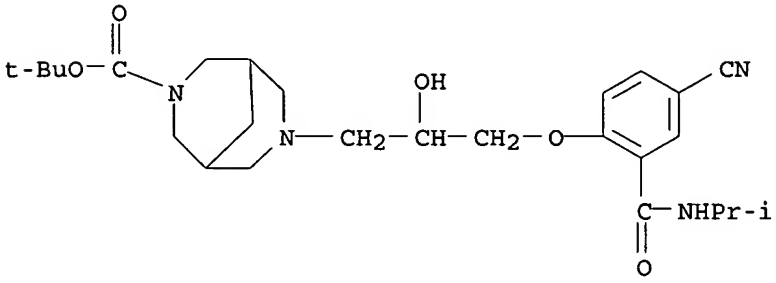
IT 227940-08-1P 227940-09-2P 227940-16-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,7-diazabicyclo[3.3.1]nonane-3-carboxylates as antiarrhythmic agents)

RN 227940-08-1 CAPLUS

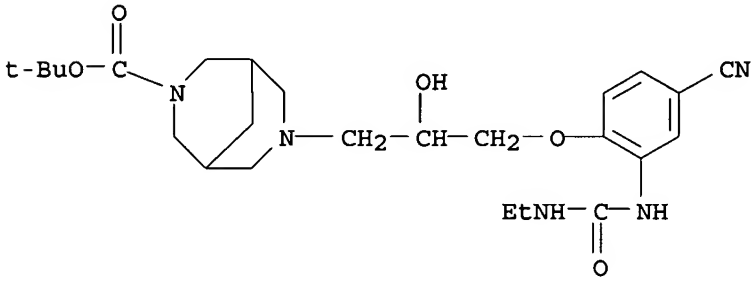
CN 3,7-Diazabicyclo[3.3.1]nonane-3-carboxylic acid, 7-[3-[4-cyano-2-[(cyclopropylamino)carbonyl]phenoxy]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 227940-09-2 CAPLUS
CN 3,7-Diazabicyclo[3.3.1]nonane-3-carboxylic acid, 7-[3-[4-cyano-2-[[(1-methylethyl)amino]carbonyl]phenoxy]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 227940-16-1 CAPLUS
CN 3,7-Diazabicyclo[3.3.1]nonane-3-carboxylic acid, 7-[3-[4-cyano-2-[[(ethylamino)carbonyl]amino]phenoxy]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:763496 CAPLUS
DOCUMENT NUMBER: 123:169655
TITLE: 1-Amino-3-phenoxypropane derivatives as modulators of multi-drug resistance
INVENTOR(S): Janssen, Bernd; Kling, Andreas; Mueller, Stefan; Ritter, Kurt; Schlecker, Rainer; Keilhauer, Gerhard; Romerdahl, Cynthia; Traugott, Ulrich
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422842	A1	19941013	WO 1994-EP870	19940319
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2155759	AA	19941013	CA 1994-2155759	19940319
EP 691962	A1	19960117	EP 1994-911931	19940319
EP 691962	B1	20000913		
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
JP 08508270	T2	19960903	JP 1994-521616	19940319
ES 2152310	T3	20010201	ES 1994-911931	19940319
US 5622953	A	19970422	US 1995-468630	19950606
PRIORITY APPLN. INFO.:			US 1993-38706 A	19930329
			US 1993-137226 A	19931018
			WO 1994-EP870 W	19940319

OTHER SOURCE(S): MARPAT 123:169655
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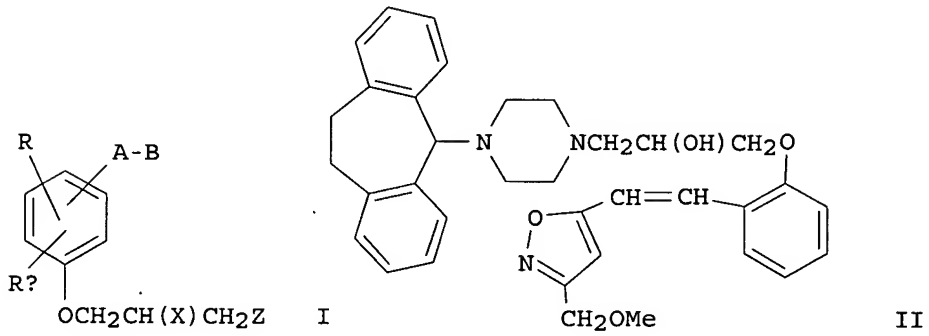
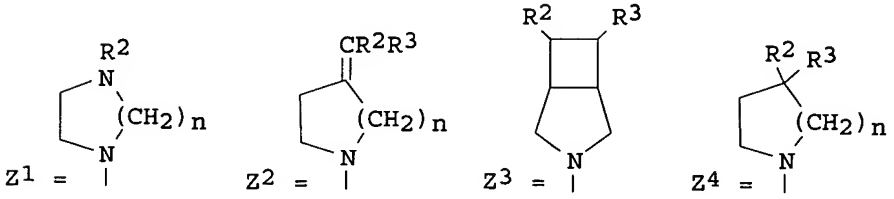


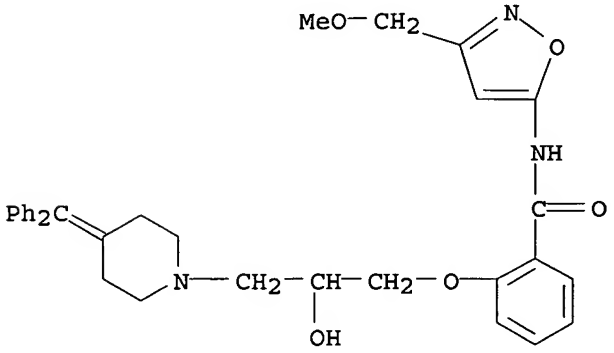


AB The invention relates to 1-amino-3-phenoxypropane derivs. I [X = H, OH and derivs., (un)substituted Ph, pyridyl, phenylalkyl; Z = aminoheterocycles Z1-Z4; m = 2, 3; R2, R3 = H (both ≠ H), cycloalkyl, (un)substituted Ph, phenylalkyl, pyridyl, etc.; A = bivalent groups containing or comprising alkylene, a double or triple bond, O, CO, NHCO or CONH or derivs., N:CH or CH:N or derivs.; B = (un)substituted ring system including Ph, pyridyl, pyrimidyl, cyclopentadienyl, indanyl, furanyl, oxazolyl, isoxazolyl, indolyl, triazolyl, oxadiazolyl, thiadiazolyl, etc.; R, Rx = H, OH, alkyl, alkoxy, halo, NO2, CF3, (un)substituted NH2, carbo- or heterocyclyl] and salts. I may be used (no data) as modulators of multi-drug resistance in cancer chemotherapy with a variety of agents, and for circumvention of resistance in the treatment of malaria. For example, Wittig-type reaction of di-Et [[3-(methoxymethyl)-5-isoxazolyl]methyl]phosphonate with

2-(2,3-epoxypropoxy)benzaldehyde using NaH in DMF gave the corresponding (E)-[[(epoxypropoxy)phenyl]ethenyl](methoxymethyl)isoxazole, which reacted with the corresponding piperazine derivative in refluxing EtOH to give title compound II. Prepns. of over 150 I and salts, and a variety of precursors, are described.

IT 167154-87-2P 167154-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminophenoxypropane derivs. as modulators of multi-drug resistance)

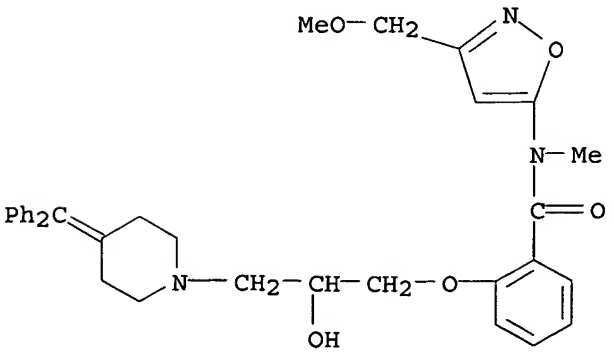
RN 167154-87-2 CAPLUS
CN Benzamide, 2-[3-[4-(diphenylmethylene)-1-piperidinyl]-2-hydroxypropoxy]-N-[3-(methoxymethyl)-5-isoxazolyl]- (9CI) (CA INDEX NAME)



RN 167154-89-4 CAPLUS
CN Benzamide, 2-[3-[4-(diphenylmethylene)-1-piperidinyl]-2-hydroxypropoxy]-N-[3-(methoxymethyl)-5-isoxazolyl]-N-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (salt) (9CI) (CA INDEX NAME)

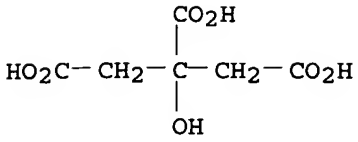
CM 1

CRN 167154-88-3
CMF C34 H37 N3 O5

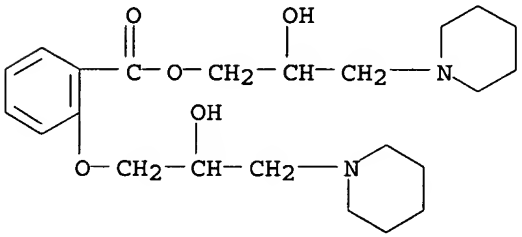


CM 2

CRN 77-92-9
CMF C6 H8 O7



L11 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:322878 CAPLUS
DOCUMENT NUMBER: 120:322878
TITLE: Synthesis of hydroxyamino-substituted aromatic acid esters from their chlorohydrin derivatives
AUTHOR(S): Babakhanov, R. A.; Zeinalov, S. B.; Sharifova, S. K.; Mekhtiev, M. S.; Agaeva, E. A.
CORPORATE SOURCE: Inst. Teor. Probl. Khim. Tekhnol., Azerbaijan
SOURCE: Zhurnal Organicheskoi Khimii (1993), 29(3), 559-64
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Hydroxyamino-substituted ester of benzoic, 2-hydroxybenzoic, and 2-acetoxybenzoic acids were synthesized starting from their chlorohydrin derivs. and subsequent aminations by aliphatic, aromatic and heterocyclic amines.
IT 155395-32-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 155395-32-7 CAPLUS
CN Benzoic acid, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]-, 2-hydroxy-3-(1-piperidinyl)propyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:577512 CAPLUS
DOCUMENT NUMBER: 99:177512
TITLE: Amino compounds useful as hair dyes
INVENTOR(S): Bugaut, Andree; Genet, Alain
PATENT ASSIGNEE(S): Oreal S. A. , Fr.
SOURCE: Ger. Offen., 93 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3302534	A1	19830804	DE 1983-3302534	19830126
CH 661501	A	19870731	CH 1983-273	19830118
FR 2520358	A1	19830729	FR 1983-847	19830120

FR 2520358	B1	19850524			
CA 1191849	A1	19850813	CA 1983-420080		19830124
AT 8300220	A	19880515	AT 1983-220		19830124
AT 387212	B	19881227			
BE 895697	A1	19830725	BE 1983-209961		19830125
AU 8310762	A1	19830804	AU 1983-10762		19830125
AU 556627	B2	19861113			
GB 2113685	A1	19830810	GB 1983-1981		19830125
GB 2113685	B2	19851211			
NL 8300267	A	19830816	NL 1983-267		19830125
ES 519237	A1	19840716	ES 1983-519237		19830125
JP 58164553	A2	19830929	JP 1983-10007		19830126
GB 2129022	A1	19840510	GB 1983-31092		19831122
GB 2129022	B2	19851211			
US 4888025	A	19891219	US 1985-742240		19850607
AU 8666832	A1	19870416	AU 1986-66832		19861222
PRIORITY APPLN. INFO.:			LU 1982-83900	A	19820126
			LU 1982-84391	A	19820927
			US 1983-459964	A1	19830121
			GB 1983-1981	A3	19830125

OTHER SOURCE(S): MARPAT 99:177512

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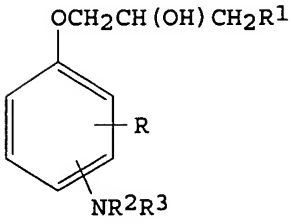


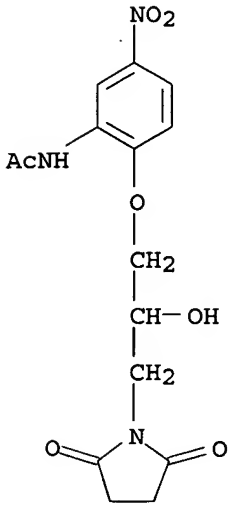
I

AB 3-Amino-1-(substituted phenoxy)-2-propanols (I; R = NO2, NH2; R1 = NH2, C1-4 alkyl- or hydroxyalkyl-substituted amino, morpholino, piperidino, quaternary ammonium; R2, R3 = H, C1-4 alkyl or hydroxyalkyl) are prepared and used in hair dyeing formulations. Depending on the nature of R and its position with respect to NR2R3, I can be used as direct dyes (R = NO2) or (R = NH2) as oxidation bases or couplers. Thus, reaction of 4,3-AcNH(O2N)C6H3OH [7403-75-0] with epichlorohydrin to form the glycidyl ether (II) [24544-37-4], treatment of II with Et2NH to give 4,3-AcNH(O2N)C6H3OCH2CH(OH)CH2NEt2 (III) [87563-65-3], and deacetylation of III gave 4,3-H2N(O2N)C6H3OCH2CH(OH)CH2NEt2.HCl [87563-64-2], a direct dye. Hydrogenation of 2,4-H2N(O2N)C6H3OCH2CH(OH)CH2NMe2 [87563-66-4], followed by N-acetylation, quaternization with MeI, and deacetylation gave 2,4-(H2N)2C6H3OCH2CH(OH)CH2N+Me3Cl-.2HCl [87570-61-4], a coupler for oxidative dyeing compns. Nine other I were prepared by these and similar methods, and dyeing formulations containing these dyes are described in detail.

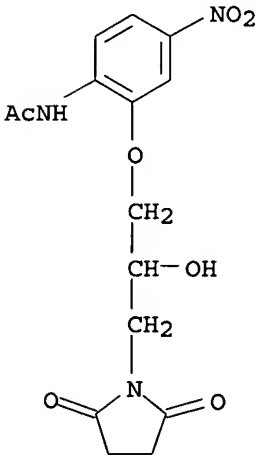
IT 87563-52-8P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)

RN 87563-52-8 CAPLUS

CN Acetamide, N-[2-[3-(2,5-dioxo-1-pyrrolidinyl)-2-hydroxypropoxy]-5-nitrophenyl]- (9CI) (CA INDEX NAME)



IT 87563-55-1P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 87563-55-1 CAPLUS
CN Acetamide, N-[2-[3-(2,5-dioxo-1-pyrrolidinyl)-2-hydroxypropoxy]-4-nitrophenyl]- (9CI) (CA INDEX NAME)

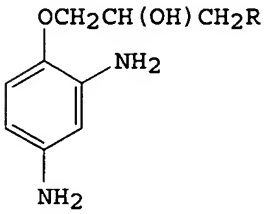


L11 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:534387 CAPLUS
DOCUMENT NUMBER: 95:134387
TITLE: Coupler components for oxidation hair dyes and use of hair dyeing agents containing them
INVENTOR(S): Rose, David; Lieske, Edgar
PATENT ASSIGNEE(S): Henkel K.-G.a.A., Fed. Rep. Ger.
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2948093	A1	19810611	DE 1979-2948093	19791129
DK 8004656	A	19810530	DK 1980-4656	19801103
FI 8003435	A	19810530	FI 1980-3435	19801103
NO 8003288	A	19810601	NO 1980-3288	19801103
EP 29964	A1	19810610	EP 1980-107210	19801120
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
JP 56090043	A2	19810721	JP 1980-166824	19801128

PRIORITY APPLN. INFO.: DE 1979-2948093 A 19791129

GI



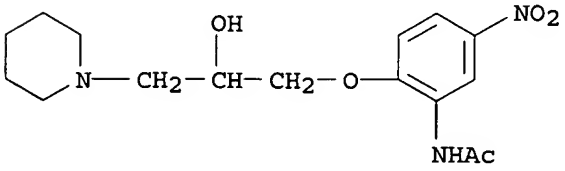
I

AB Coupling components (I; R = NHEt, NHPh, NHCH2Ph, NEtPh, OH, OEt, morpholino, piperidino) are prepared and are used in oxidative hair dyeing compns. giving reddish brown to dark violet shades. Thus, 2-acetamido-4-nitrophenol [97-60-9] was condensed with epichlorohydrin [106-89-8], the resulting 1-(2-acetamido-4-nitrophenoxy)-2,3-epoxypropane [78917-60-9] treated with ethylamine [75-04-7], and the product deacetylated and reduced to give I (R = NHEt.3HCl) [78917-84-7]. Seven addnl. I were similarly prepared

IT 78917-63-2P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)

RN 78917-63-2 CAPLUS

CN Acetamide, N-[2-[2-hydroxy-3-(1-piperidinyl)propoxy]-5-nitrophenyl]- (9CI) (CA INDEX NAME)

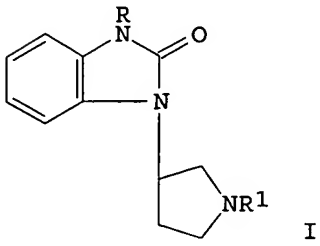


L11 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1978:152620 CAPLUS
DOCUMENT NUMBER:	88:152620
TITLE:	3-Substituted pyrrolidines
INVENTOR(S):	Odani, Akeshi
PATENT ASSIGNEE(S):	Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 52153963	A2	19771221	JP 1976-70290		19760614
PRIORITY APPLN. INFO.:			JP 1976-70290	A	19760614

GI



I

AB Seven pyrrolidines I (R = H, Me, Ph, CH2Ph; R1 = CH2CH2Ph, CH2CHOHCH2OC6H4CO2Me-2, Me, CH2CH2OPh, etc.; as oxalate or fumarate salt), having central depressive, analgesic, antihistaminic, and hypotensive activities (no data), were prepared by reaction of I (R1 = H) with PhCH2CH2Br, o-methoxycarbonylphenyl glycidyl ether, paraformaldehyde, etc. Thus, 3.0 g I.HCl (R = Ph, R1 = H) was stirred with aqueous NaOH to give I, which in DMF was stirred with 1.8 g PhCH2CH2Br, 5.0 g powdered K2CO3, and NaI for 16 h at 90° to give 0.8 g I (R = Ph, R1 = CH2CH2Ph, as oxalate salt).

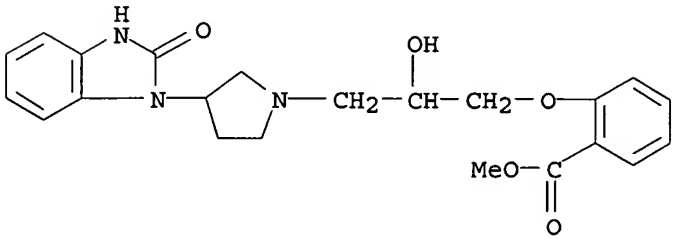
IT 66243-08-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66243-08-1 CAPLUS

CN Benzoic acid, 2-[3-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-pyrrolidinyl]-2-hydroxypropoxy]-, methyl ester, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

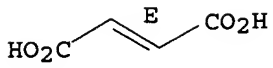
CRN 66243-07-0
CMF C22 H25 N3 O5



CM 2

CRN 110-17-8
CMF C4 H4 O4

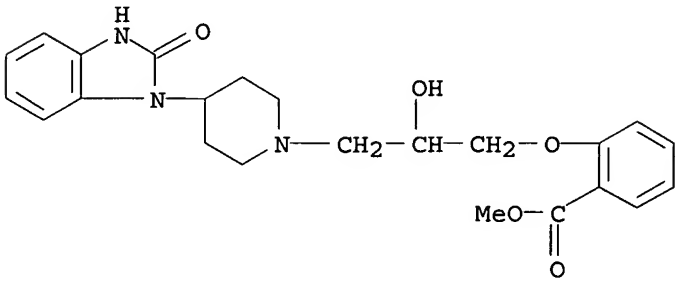
Double bond geometry as shown.



L11 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:17340 CAPLUS
DOCUMENT NUMBER: 84:17340
TITLE: 1-[1-(2-Hydroxy-3-aryloxypropyl)-4-piperidyl]-2-benzimidazolinones and related compounds
INVENTOR(S): Janssen, Paul A. J.; Van Wijngaarden, Ineke; Soudijn, Willem
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 5 pp. Division of U.S. 3,181,017.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3894030	A	19750708	US 1974-459500	19740206
US 3804950	A	19740416	US 1973-321509	19730108
PRIORITY APPLN. INFO.:			US 1973-321509 A3	19730104
			US 1972-109020 A3	19720122

GI For diagram(s), see printed CA Issue.
AB The title compds. I [R = H, 2-Ac, 2-MeO, 2-CH2:CHCH2O, 2-CH.tplbond.CCH2O, 2-PhO, 2-EtO, 2-Cl, 4-Cl, 2-BuO, 2-CN, 2-PrCO, 2-MeO2C], possessing antihypertensive activity in dogs at 0.8-5.0 mg/kg, were prepared by condensation of the epoxides II with 1-(4-piperidyl)-2-benzimidazolinone. Didehydro derivs. of I were prepared by reaction of II with 1-(1,2,3,6-tetrahydro-4-pyridyl)-2-benzimidazolinone.
IT 53828-44-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53828-44-7 CAPLUS
CN Benzoic acid, 2-[3-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-2-hydroxypropoxy]-, methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:531471 CAPLUS
DOCUMENT NUMBER: 83:131471
TITLE: Piperidine derivatives
INVENTOR(S): Maruyama, Isamu; Nakao, Masaru; Sasajima, Kikuo; Inaba, Shigeho; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50025571	A2	19750318	JP 1973-76006	19730704

PRIORITY APPLN. INFO.: JP 1973-76006 19730704

GI For diagram(s), see printed CA Issue.

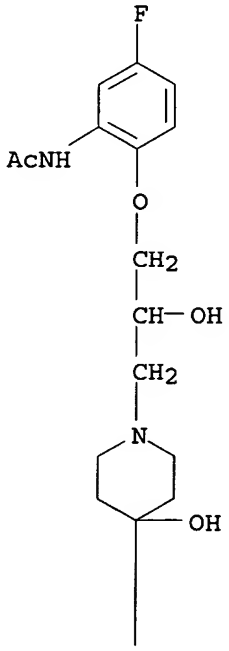
AB Piperidines I (R1, R2 = H, alkyl, alkanoyl; R3 = H, alkyl, alkoxy, halo; R4 = H, alkyl, alkoxy, CF3, halo) were prepared by reaction of II with III. I had antiinflammatory, hypotensive, antiarrhythmic, muscle-relaxing, and sedative activities (no data). Thus, reflux of a mixture of 2.3 g 2'-(2,3-epoxypropoxy)-5'-fluoroacetanilide and 2.1 g 4-(4-chlorophenyl)-4-hydroxypiperidine in EtOH 3 hr gave 1-[2-hydroxy-3-(2-acetylamino-4-fluorophenoxy)propyl]-4-(4-chlorophenyl)-4-hydroxypiperidine. Also, prepared were 1-[2-hydroxy-3-(2-amino-4-fluorophenoxy)propyl]-4-(4-chlorophenyl)-4-hydroxypiperidine and 1-[2-hydroxy-3-(2-isobutylamino-4-fluorophenoxy)propyl]-4-(4-chlorophenyl)-4-hydroxypiperidine.

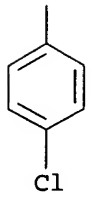
IT 57392-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiinflammatory, hypotensive, antiarrhythmic, muscle-relaxant, and sedative activities of)

RN 57392-78-6 CAPLUS

CN Acetamide, N-[2-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-hydroxypropoxy]-5-fluorophenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





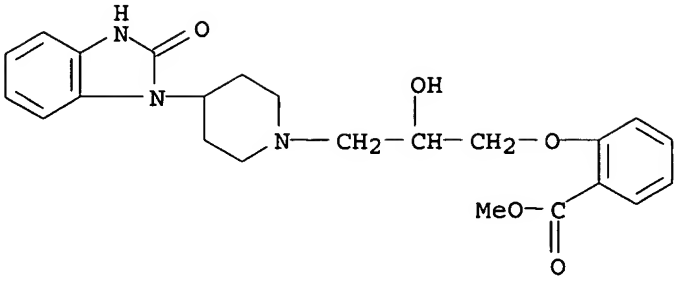
L11 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:491531 CAPLUS
DOCUMENT NUMBER: 81:91531
TITLE: 1-[1-(2-Hydroxy-3-aryloxypropyl)-4-piperidyl]-2-benzimidazolinones and related compounds
INVENTOR(S): Janssen, Paul A. J.; Van Wigngaarden, Ineke; Soudijn, Willem
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3818017	A	19740618	US 1973-321059	19730104
PRIORITY APPLN. INFO.:			US 1973-321059 A	19730104

GI For diagram(s), see printed CA Issue.
AB The piperidylbenz-imidazolinones (I; R = H, allyl; R1 = H, Ac, MeO, allyl, propynyl, PhO, EtO, Cl, BuO, CN, PrCO, CO2Me) and the tetrahydropyridylbenzimidazolinones (II; R = H; R1 = H, Ac, MeO) were prepared by reaction of the corresponding epoxide (III) with 1-(4-piperidyl)-2-benzimidazolinone and 1-(1,2,3,6-tetra-hydro-4-pyridyl)-2-benzimidazolinone, resp. Sixteen I and 3 II were prepared When I and II were administered to anesthetized dogs at 0.8-5.0 mg/kg a decrease in arterial blood pressure of at least 20 mm Hg was observed
IT 53828-45-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive activity of)
RN 53828-45-8 CAPLUS
CN Benzoic acid, 2-[3-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-2-hydroxypropoxy]-, methyl ester, compd. with 2-propanol (1:1) (9CI) (CA INDEX NAME)

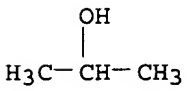
CM 1

CRN 53828-44-7
CMF C23 H27 N3 O5



CM 2

CRN 67-63-0
CMF C3 H8 O



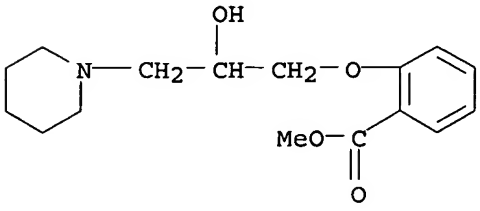
L11 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:511723 CAPLUS
DOCUMENT NUMBER: 79:111723
TITLE: o-,m-, and p-[2-Hydroxy(mono- or disubstituted)3-amino]propoxybenzoates and their pharmacological activity
AUTHOR(S): Tsatsas, G.; Siatra, Th.; Varonos, D.; Spyraki, Ch.
CORPORATE SOURCE: Lab. Pharm. Chem., Univ. Athens, Athens, Greece
SOURCE: Annales Pharmaceutiques Francaises (1973), 31(4), 305-12
CODEN: APFRAD; ISSN: 0003-4509
DOCUMENT TYPE: Journal
LANGUAGE: French

AB Of 24 aminopropoxybenzoate derivs. tested, the meta and para propoxybenzoate derivs. showed stronger local anesthetic and central nervous system activity than the ortho derivs. The local anesthetic action of ethyl m-(2-hydroxy-3-isobutylamino)propoxybenzoate (I) [42373-40-0] and butyl p-(2-hydroxy-3-isobutylamino)propoxybenzoate [42401-83-2] in guinea pigs was 10-fold greater than that of procaine. All 24 compds. clearly affected the rotary rod test in mice, and only the meta and para derivs. affected coordination. Influence of the compds. on open field behavior was erratic. In general, the benzoates may be classified as antipyretic since they lowered both normal body temperature and exptl. induced fever. The synthesis of the derivs. is described.

IT 43064-50-2 43116-85-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)

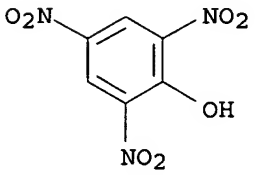
RN 43064-50-2 CAPLUS
CN Benzoic acid, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

CRN 49870-01-1
CMF C16 H23 N O4



CM 2

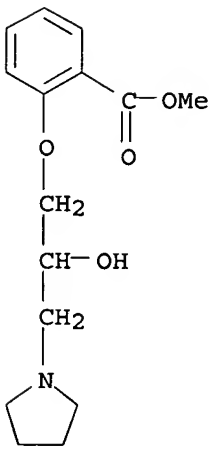
CRN 88-89-1
CMF C6 H3 N3 O7



RN 43116-45-6 CAPLUS
CN Benzoic acid, 2-[2-hydroxy-3-(1-pyrrolidinyl)propoxy]-, methyl ester, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

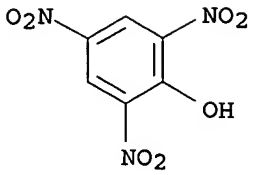
CM 1

CRN 49859-62-3
CMF C15 H21 N O4



CM 2

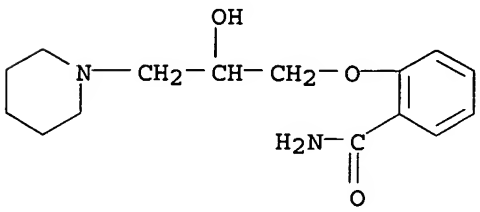
CRN 88-89-1
CMF C6 H3 N3 O7



L11 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:442138 CAPLUS
DOCUMENT NUMBER: 79:42138
TITLE: Antiarrhythmic and β-adrenergic receptor blocking 1-amino-3-(carbamoylphenoxy)-2-propanol hydrochlorides
INVENTOR(S): Havera, Herbert J.; Strycker, Wallace G.
PATENT ASSIGNEE(S): Miles Laboratories Inc.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2254478	A1	19730517	DE 1972-2254478	19721107
JP 48056645	A2	19730809	JP 1972-110378	19721106
FR 2159330	A1	19730622	FR 1972-39380	19721107
PRIORITY APPLN. INFO.:			US 1971-196789 A	19711108

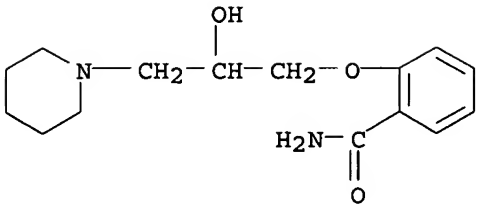
GI For diagram(s), see printed CA Issue.
AB Twenty-three title compds. (I; R = NHCHMe3, NHCHMeEt, pipe- ridino; R1 = H, Me, 3-MeC6H4, 4-F3CC6H4, 4-Me2NC64, etc.; R2 = H, Et; R3 = H, Cl, MeO) were prepared by reaction of epichlo- rohydrin (II) with the appropriate hydroxybenzamides, and treating the resulting 1-(carbamoylphenoxy)-2-3-epoxypropanes with RH. Thus, II reacted with salicylamide in aqueous NaOH and EtOH for 16 hr at room temperature The product and Me2CHNH2 were refluxed in EtOH for 1.5 hr to give after addition of HCl, I (R = NHCHMe2, R1 = R2 = R3 = H; o-CONR1R2). The anti-arrhythmic effect in mice.
IT 42043-01-6P 42043-02-7P 42043-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 42043-01-6 CAPLUS
CN Benzamide, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]-, monohydrochloride (6CI, 9CI) (CA INDEX NAME)



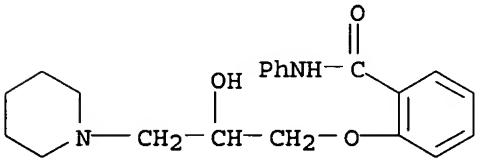
● HCl

RN 42043-02-7 CAPLUS
CN Benzamide, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]- (6CI, 9CI) (CA INDEX

NAME)



RN 42043-03-8 CAPLUS
CN Benzamide, 2-[2-hydroxy-3-(1-piperidinyl)propoxy]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:466450 CAPLUS
DOCUMENT NUMBER: 73:66450
TITLE: Pharmacodynamic aromatic ethers and thio ethers
INVENTOR(S): Edenhofer, Albrecht; Spiegelberg, Hans
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co., A.-G.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1964421	A	19700716	DE 1969-1964421	19691223
CH 543508	A	19731214	CH 1968-19268	19681224
US 3674799	A	19720704	US 1969-882298	19691204
IL 33507	A1	19731128	IL 1969-33507	19691209
CA 969963	A1	19750624	CA 1969-69470	19691210
GB 1264564	A	19720223	GB 1969-1264564	19691216
BR 6915344	A0	19730125	BR 1969-215344	19691219
BE 743493	A	19700622	BE 1969-743493	19691222
DK 133335	B	19760503	DK 1969-6806	19691222
FI 52338	B	19770502	FI 1969-3714	19691222
NL 6919281	A	19700626	NL 1969-19281	19691223
FR 2027037	A5	19700925	FR 1969-44583	19691223
FR 2027037	B1	19731221		
AT 295534	B	19720110	AT 1969-11993	19691223
AT 295536	B	19720110	AT 1971-1920	19691223
ES 374837	A1	19720201	ES 1969-374837	19691223
SE 357363	B	19730625	SE 1969-17877	19691223
NO 132196	B	19750623	NO 1969-5110	19691223

JP 49031991	B4	19740827	JP 1969-104209		19691224
CA 948818	A1	19740611	CA 1970-98136		19701113
US 3790583	A	19740205	US 1972-237539		19720323
US 3859294	A	19750107	US 1973-403133		19731003
US 3862158	A	19750121	US 1973-403135		19731003
PRIORITY APPLN. INFO.:			CH 1968-19268	A	19681224
			US 1969-882298	A	19691204
			US 1972-237539	A3	19720323

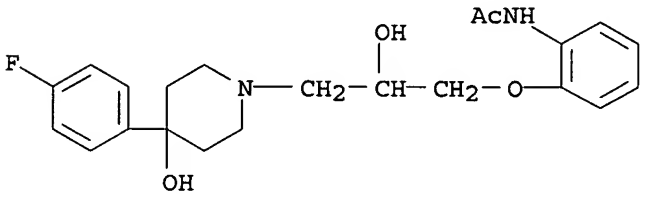
GI For diagram(s), see printed CA Issue.

AB Antiphlogistic, antiallergic, antitussive, and analgesic title compds. (I, X = O or S) were prepared by refluxing the corresponding tetrahydropyridine derivs. and II in a solvent, e.g. EtOH. Among .apprx.30 compds. prepared were the following I (X = O, R = 4-F) (R1 given): COEt; Ac; COPr-iso (Ia); CONH2; Bz; SO2Me; and I (X = S)(R and R1 given): 4-Cl, Ac; 3-Br, Ac. Ia had LD50 .apprx.750 mg/kg in rats on oral application.

IT 30355-23-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 30355-23-8 CAPLUS

CN Acetanilide, 2'-[3-[4-(p-fluorophenyl)-4-hydroxypiperidino]-2-hydroxypropoxy]-, hydrochloride, (±)- (8CI) (CA INDEX NAME)



●x HCl

L11 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:12561 CAPLUS

DOCUMENT NUMBER: 72:12561

TITLE: Anticonvulsant and tranquilizing 1-(5-amino-2-isoindolinyl)-3-substituted-2-propanols

INVENTOR(S): Heidenbluth, Karlheinz; Toenjes, Heinz; Schmidt, Joachim

PATENT ASSIGNEE(S): VEB Arzneimittelwerk

SOURCE: Brit., 9 pp.
CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1165310		19690924	GB 1968-43668	19680913
FR 7952			FR	

OTHER SOURCE(S): MARPAT 72:12561

GI For diagram(s), see printed CA Issue.

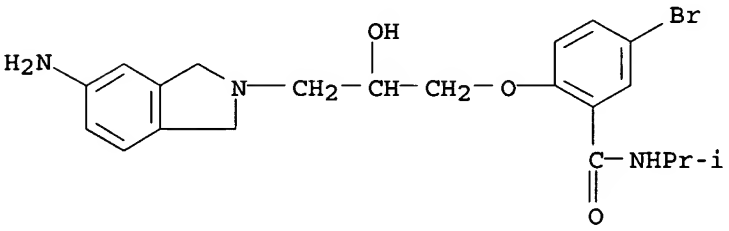
AB The title compds. (I) are potent anticonvulsants and tranquilizers and are prepared by reduction of nitro derivs. such as II or by reaction of III with an epoxy compound A solution of 12 g II in 200 ml MeOH was shaken with 0.4 g Pd/C catalyst and H at atmospheric pressure and temperature for .apprx.8 hr to give, after

filtration and evaporation, I (R = iso-Bu), m. 74-6° [cyclohexane (CY)]. To a solution of 6.7 g III in 20 ml MeOH was added, portionwise, 8 g 1,2-epoxy-3-(3,4-dimethylphenoxy)propane over 10-15 min and the mixture further stirred at 30-5° 2-3 hr and at 55° 1 hr to give I (R = 3,4-Me2C6H4), m. 108-9° (CY). The following I were similarly prepared (R, m.p. of base unless otherwise stated, and recrystg. solvent given): α-naphthyl, 117-18°, EtOH; 4-O 2NC6H4, 153°, BuOH; 2,6-diallylphenyl, 175-7° (HCl salt), H2O or EtOH; PhCHMe, 170-1° (HCl salt), EtOH; 2,4,6-Br3C6H2, 163-4°, C6H6; Me, 94-5°, CY; Et, 76-7°, ligroine; Pr, 74-5°, ligroine; iso-Pr, 63-5°, ligroine; Bu, 65-6°, ligroine; CH2:CHCH2, 159° (HCl salt), EtOH; PhCH2, 175° (HCl salt), EtOH; Ph2CH, 231° (HCl salt), H2O; Ph, 104-7°, C6H6; 4-MeOC6H4, 112-15°, CY; 3-MeC6H4, 115-17°, CY; 4-Me-C6H4, 103-5°, CY; 2-ClC6H4, 84-6°, CY; 4-ClC6H4, 138-40°, H2O; 2,5-(2so-Pr)Me-MeC6H3, 210-12° (HCl salt), H2O; 2,4,5-(iso-Pr)Cl(Me)-C6H2, 207-9° (HCl salt), H2O; 2-CH2:CHCH2C6H4, 81-3°, CY; 4-BzC6H4, 90-1°, C6H6; 2,4-(iso-PrNHCO)-BrC6H3, 135-6° (HCl), H2O; 2-naphthyl, 158-60°, EtOH; 1-allyl-2-naphthyl, 140-1° (2HCl salt), PrOH; 2-alkyl-1-naphthyl, 123-4°, MeOH; 4-PhC6H4, 141-3°, EtOH; 4-PhOC6H4, 103-5°, Et2O. To a stirred mixture of 11.6 g III, 10.7 g 1-(2-phenylethoxy)-3-chloro-2-propanol in 20 ml MeOH was added a solution of 8.4 g KOH in 10 ml H2O so that the temperature remained at 25-30° to give, after 2.5-3 hr at 30-5°, I (R = PhCH2CH2).HCl, m. 186-7° (EtOH).

IT 23456-63-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23456-63-5 CAPLUS

CN Benzamide, 2-[3-(5-amino-2-isoindolinyl)-2-hydroxypropoxy]-5-bromo-N-isopropyl-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L11 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1969:481160 CAPLUS
DOCUMENT NUMBER: 71:81160
TITLE: 3-(5-Aminoisoindolinyl)-1,2-propanediol 1-ethers
INVENTOR(S): Heidenbluth, Karlheinz; Toenjes, Heinz; Schmidt, Joachim
SOURCE: Ger. (East), 6 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DD 65077		19690105	DD	19680416

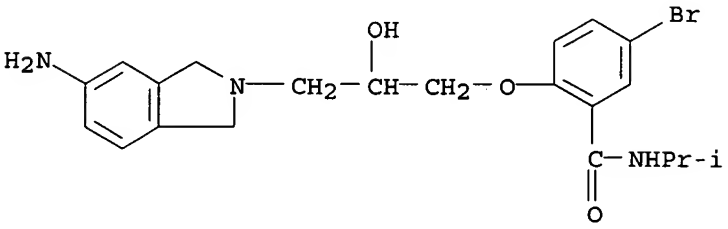
GI For diagram(s), see printed CA Issue.

AB I were prepared by several methods. The reduction of 12 g. I (X = NO2, R = iso-Bu) in 200 ml. MeOH with 0.4 g. Pd/C gave I (X = NH2, R = iso-Bu), m. 74-6° (C6H12). Similarly prepared was I (X = NO2, R = α-naphthoxy) and converted to I (X = NH2, R = α-naphthoxy), m. 117-18° (EtOH). The second method involves the reaction of 5-aminoisoindole (II) with III. Thus, 6.7 g. II in 20 ml. MeOH and 8 g. III (R = 3,4-dimethylphenoxy) was heated 4 hrs. at 30-55°. The crude product was hydrolyzed and then acidified and extracted with Et2O and aqueous alkali to give I (X = NH2, R = 3,4-dimethylphenoxy), m. 108-9°. Similarly using II and the appropriate III, the following I (X = NH2) were prepared (R and m.p. given): 4-nitrophenoxy, 153° (BuOH); 2,6-diallylphenoxy, 175-7° (H2O); α-phenylethoxy, 170-1° (EtOH); 2,4,6-tribromophenoxy, 163-4° (C6H6). A third method for the preparation of I is also described. Thus, to a solution of 11.6 g. II sulfate and 10.7 g. 1-(2-phenylethoxy)-3-chloro-2-propanol in 20 ml. MeOH was added to a solution of 8.4 g. KOH in 10 ml. H2O and the solution heated 3 hrs. at 35°. Hydrolysis followed by the addition of dilute HCl gave I (X = NH2, R = 2-phenylethoxy)-HCl, m. 186-7° (EtOH). Other I prepared by one of the above methods are (R and m.p. given): Me, 94-5°; Et, 76-7°; Pr, 74-5°; iso-Pr, 63-5°; Bu, 65-6°; allyl (HCl salt), 159°; benzyl (HCl salt), 175°; benzhydryl (HCl salt), 231°; phenyl, 104-7°; 4-methoxyphenyl, 112-15°; 3-methylphenyl, 115-17°; 4-methylphenyl, 103-5°; 6-chlorophenyl, 84-6°; 4-chlorophenyl, 138-40°; 3,6-Me(iso-Pr)C6H3.HCl, 210-12°; 3-methyl-4-chloro-6-isopropylphenyl (HCl salt), 207-9°; 6-allylphenyl, 81-3°; 4-benzoylphenyl, 90-1°; 4-bromo-2-isopropylcarbamoylphenyl (HCl salt), 135-6°; β-naphthyl, 158-60°; α-allyl-β-naphthyl (di-HCl salt), 140-1°; β-allyl-α-naphthyl, 123-4°; 4-biphenylyl, 141-3°, and 4-phenoxyphenyl, 103-5°.

IT 23456-63-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23456-63-5 CAPLUS

CN Benzamide, 2-[3-(5-amino-2-isoindolinyl)-2-hydroxypropoxy]-5-bromo-N-isopropyl-, monohydrochloride (8CI) (CA INDEX NAME)



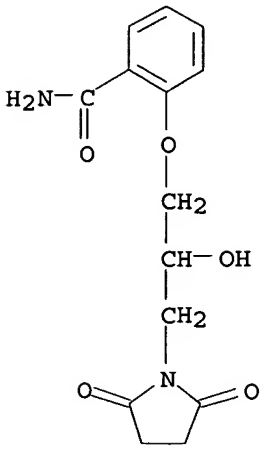
● HCl

L11 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1958:65840 CAPLUS
DOCUMENT NUMBER: 52:65840
ORIGINAL REFERENCE NO.: 52:11835d-i,11836a-b

10/520,699

TITLE: Analgesics. III. Salicylamide derivatives
AUTHOR(S): Petrow, V.; Stephenson, O.
CORPORATE SOURCE: British Drug Houses Ltd., London
SOURCE: Journal of Pharmacy and Pharmacology (1958), 10, 96-102
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Derivs. of 3-(o-aminocarbonylphenoxy)propane-1,2-diol, 1-aryloxy-3-(o-aminocarbonylphenoxy)propan-2-ol, and N,N'-bis(3-o-aminocarbonylphenoxy-2-hydroxypropyl)piperazine are synthesized. Condensation of 34.5 g. salicylamide and 138.8 g. 2,3-epoxypropyl chloride in aqueous KOH formed a precipitate which was separated and dissolved in boiling EtOH from which separated 11 g. 1,3-bis(o-aminocarbonylphenoxy)propan-2-ol (I), m. 213-15° (EtOH), and also, after concentration and cooling, 7.9 g. (with an addnl. 12.6 g. by CHCl3 extraction of the original aqueous liquor) of 3-(o-aminocarbonylphenoxy)-1,2-epoxypropane (II), m. 108-10° (EtOAc-ligroine). I was refluxed with aqueous NaOH to obtain 1,3-bis(o-carboxyphenoxy)propan-2-ol, m. 170-1° (EtOH). The same dicarboxylic acid was obtained by condensation of Na salicylate with 2,3-epoxypropyl chloride in alkaline solution Piperidine (2.5 ml.) and 4.8 g. II heated in 30 ml. C6H6, at 100° for 30 min. then slightly diluted with ligroine yielded 5.5 g. N-(2-hydroxy-3-o-aminocarbonylphenoxypropyl)piperidine, m. 167-8° (H2O-EtOH); HCl.2H2O salt, m. 140-50° (EtOAc). II condensed with piperazine-6H2O in EtOH solution to form N,N'-bis(2-hydroxy-3-o-aminocarbonylphenoxypropyl)piperazine, m. 215-18° (aqueous ethylene glycol); di-HCl salt, m. 232-3° (95% EtOH). II refluxed with succinimide in EtOH formed N-(2-hydroxy-3-o-aminocarbonylphenoxypropyl)succinimide, m. 175-7° (EtOH), which was refluxed with HCl to form 2-hydroxy-3-o-carboxyphenoxypropylamine-HCl, m. 150-4° (EtOH-EtOAc). The phthalimide derivative, m. 183° (EtOH), boiled with hydrazine hydrate in EtOH formed the hydrazide, m. 201-2°, which reacted with HCl in EtOH to form 2-hydroxy-3-o-aminocarbonylphenoxypropylamine-HCl, m. 162-6° which reacted with dilute NaOH and BzCl to form N-(2-hydroxy-3-o-aminocarbonylphenoxypropyl)benzamide, m. 162-3° (H2O-EtOH). Condensation of 2,3-epoxypropyl chloride with salicyldiethylamide, extraction with CHCl3, concentration, and distillation yielded 3-(diethylaminocarbonylphenoxy)-1,2-epoxypropane, b0.3 154°, and the propane-1,2-diol derivative, b0.3 180°; a portion of the residue with piperazine-6H2O formed N,N'-bis(2-hydroxy-3-o-diethylaminocarbonylphenoxypropyl)piperazine-2HCl, m. 213-14° (EtOH-EtOAc). 3-(o-Aminocarbonylphenoxy)propane-1,2-diol m. 140-2° (EtOH). 3-(Ethylaminocarbonylphenoxy)propane-1,2-diol. (from salicylethylamide and 2,3-epoxypropanol with 1 drop pyridine by direct distillation) b0.1 215° (solidified on standing). 3-(Butylaminocarbonylphenoxy)propane-1,2-diol m. 85-7° (EtOAc-Et2O). 3-(o-Diethylaminocarbonylphenoxy)propane-1,2-diol b0.3 180-5°; 3-(o-piperidinocarbonylphenoxy)propane-1,2-diol b0.3 216°. 1-(o-Aminocarbonylphenoxy)-3-(o-diethylaminocarbonylphenoxy)propan-2-ol m. 182-3° (EtOH-EtOAc); 1-(o-morpholinocarbonylphenoxy) analog m. 152-3° (MeOH-EtOAc). Condensation of 3-(o-aminocarbonylphenoxy)-1,2-epoxypropane with o-cresol, or 3-o-tolyloxy-1,2-epoxypropane with salicylamide formed 1-(o-aminocarbonylphenoxy)-3-o-tolyloxypropan-2-ol, m. 108-10° (EtOAc-ligroine); 1-(o-diethylaminocarbonylphenoxy)-3-o-tolyloxypropan-2-ol, b0.1 210°; 1-(o-piperidinocarbonylphenoxy)-3-o-



L11 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1957:46998 CAPLUS
DOCUMENT NUMBER: 51:46998
ORIGINAL REFERENCE NO.: 51:8723b-i,8724a-d
TITLE: Aryloxypropane derivatives. III. Aryloxypropanolureas
AUTHOR(S): Beasley, Y. M.; Petrow, V.; Stephenson, O.; Thomas, A. J.
SOURCE: Journal of Pharmacy and Pharmacology (1957), 9, 10-19
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

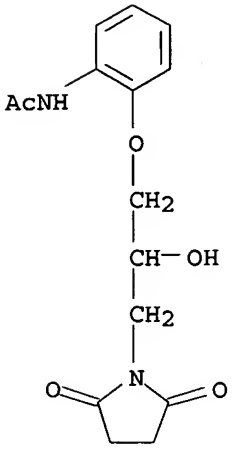
AB cf. C.A. 50, 1662b; 51, 2662c. Attempts to prepare an aryloxypropanolurea by condensation of 3-aryloxy-2-hydroxypropyl chloride or 3-aryloxy-1,2-epoxypropane with urea led to the formation of the corresponding 5-aryloxymethyloxazolid-2-one. The ureas were obtained by reaction of 3-aryloxy-2-hydroxypropylamine with alkali metal cyanate. Some 3-ureidoaryloxypropane-1,2-diols and 2-hydroxy-3-ureidopropylamines were prepared 5-o-Toloxymethyloxazolid-2-one (I), m. 128-9°, was prepared by treating 2-hydroxy-3-o-toloxypropyl chloride (II) and urea at 180-90° for 1 hr., extracting with CHCl3, and crystallizing from EtOAc. I was prepared also by reactions of 1,2-epoxy-3-o-toloxypropane with urea, with NaOCN, and with urethan and KOH in MeOH, and from 2-hydroxy-3-o-toloxypropylamine-HCl (III) and COCl2 in dry C6H6. Mephenesin and urea at 180-90° yielded a mixture of unchanged mephenesin, m. 71°, mephenesin carbonate, m. 94-6°, and 5-o-toloxymethyloxazolid-2-one, m. 127-9°. 5-Phenoxymethyloxazolid-2-one, m. 125-7° (from CHCl3-ligroine), was prepared from 1,2-epoxy-3-phenoxypropane (IV) and urea. 5-o-Chlorophenoxymethyloxazolid-2-one, m. 151°, prisms (from EtOAc), was prepared from the propyl chloride and NaOCN in H2O-EtOH. 5-o-Toloxymethyldioxol-2-one (mephenesin carbonate), m. 96° (from EtOH-ligroine or C6H6), was prepared from mephenesin, Et2CO3, and Na in EtOH heated on a steam bath for 30 min., EtOH being allowed to distil off. 5-p-Chlorophenoxymethyldioxol-2-one (chlorphenesin carbonate), m. 96-7°, needles (from EtOH), was prepared from chlorphenesin and Et2CO3. A mixture of III and NaOCN in H2O warmed a few min. yielded 2-hydroxy-3-o-toloxypropylurea (V), m. 131-2° (from EtOAc), the thiourea, prepared with KSCN, crystallized from EtOH-Et2O in fine white needles, m. 120-2°. V and Et sodiomalonate in absolute EtOH refluxed for 15 hrs. yielded N-(2-hydroxy-3-o-toloxy)propylbarbituric acid, m. 170-2°. IV and a warm solution of sodiomalonic ester gave 3-methoxycarbonyl-2-oxo-5-phenoxymethyltetrahydrofuran, b. 190° (slight decomposition). 1,2-Epoxy-3-o-toloxypropane and sodiomalonic ester in

dry MeOH refluxed 1 hr. then urea in dry MeOH added and refluxed for 10 hrs. formed 5-(2-hydroxy-3-o-toloxypropyl)barbituric acid, m. 200° (from H2O, then EtOH). II and Me sodioacetamidomalonate in dry MeOH refluxed 5 hrs. formed 3-amino-2-oxo-5-o-toloxymethyltetrahydrofuran m. 230-2° (decomposition) (from MeOH-EtOAc, then MeOH). 1,2-Epoxy-3-o-toloxypropane substituted for II gave a similar result. The amine-HCl in H2O and NaOCN in H2O yielded 5-(2-hydroxy-3-o-toloxypropyl)hydantoin, m. 136-8°, solidifying rapidly and remelting at 210° (from EtOAc). A mixture of p-ureidophenol, NaOH, and 2,3-epoxypropyl chloride stirred at room temperature for 6 hrs. formed 1,2-epoxy-3-(p-ureidophenoxy)propane (VI), m. 152-3° (from EtOH-ligroine). Use of KOH with smaller amts. of H2O and the chloride with stirring for 8 hrs. formed 1,3-bis(p-ureidophenoxy)-2-hydroxypropane, m. 234-5° (decomposition) (from aqueous ethylene glycol). VI and succinimide in hot EtOH and 5 drops of pyridine heated for 5 hrs. formed 2-hydroxy-1-succinimido-3-(p-ureidophenoxy)propane, m. 202-3° (from H2O). VI and phthalimide in EtOH and 2 drops of pyridine heated 10 hrs. formed 2-hydroxy-1-phthalimido-3-(p-ureidophenoxy)propane, m. 199-200° (from AcOH). VI in EtOH-piperidine refluxed 4 hrs., treated at once with a slight excess of HCl gas yielded 2-hydroxy-1-piperidino-3-(p-ureidophenoxy)propane-HCl, m. 198-9° (from MeOH-EtOAc). VI in EtOH and piperazine-6H2O on steam bath 1 hr. formed 1,4-bis(2-hydroxy-3-p-ureidophenoxy)propylpiperazine, m. 206-8°. N-(3-p-Acetamidophenoxy-2-hydroxy)propylsuccinimide refluxed with concentrated HCl 6 hrs. formed 3-(p-aminophenoxy)-2-hydroxypropylamine-2HCl, m. 256-60° (decomposition) (from H2O-EtOH); an aqueous solution and NaOCN deposited 2-hydroxy-3-(p-ureidophenoxy)propylurea, m.
180-2° (from H2O). 3-p-Acetamidophenoxypropane-1,2-diol, m. 136-8°, prepared by condensation of p-acetamidophenol with 2,3-dihydroxypropyl chloride in aqueous alkaline solution or with glycidol in EtOH
with pyridine catalyst, refluxed in HCl for 1 hr. yielded the amine-HCl, m. 166-8° (from EtOH-Et2O). The amine-HCl in H2O with NaOCN formed 3-p-ureidophenoxypropane-1,2-diol, m. 156-7°, also formed from p-ureidophenol in H2O, NaOH, and 2,3-dihydroxypropyl chloride and from p-ureidophenol with glycidol in concentrated EtOH solution with a basic catalyst.
A mixture of p-acetamidophenol and 1,2-epoxy-4-oxahexan-6-ol in the least amount of hot EtOH and 3 drops pyridine concentrated on a steam bath for 3 hrs. formed a gummy residue which, on boiling with EtOAc and 3 drops EtOH, yielded crystalline 1-p-acetamidophenoxy-4-oxahexane-2,6-diol, m. 116-17°, also prepared from the phenol in H2O with 1-chloro-4-oxahexane-2,6-diol. The product hydrolyzed to the amine-HCl, m. 151-2° (from EtOH-Et2O); the amine-HCl with NaOCN in H2O yielded 1-p-ureidophenoxy-4-oxahexane-2,6-diol, m. 169-71° (from EtOH-Et2O). Also prepared were: 3-o-acetamidophenoxypropane-1,2-diol, m. 146-7° (from EtOAc-Et2O) [free amine, m. 170° (from EtOH-Et2O); ureido derivative of the amine, m. 95° (from EtOH-Et2O)]; 2,3-epoxy-1-(o-acetamidophenoxy)propane, m. 105° (from ligroine); 1-o-acetamidophenoxy-2-hydroxy-3-succinimidopropane, m. 112-14°; 1-o-aminophenoxy-2-hydroxypropylamine-2HCl, m. 232° (decomposition) (from EtOAc and a trace of MeOH); 2-hydroxy-1-o-ureidophenoxypropylurea, m. 174° (from EtOH-Et2O); 1,3-bis(o-acetamidophenoxy)-2-hydroxypropane-H2O, m. 124-6° (from H2O-EtOH), anhydrous form obtained by drying at 95° or crystallization from ethylene dichloride-ligroine, m. 165-6°; 1,3-bis(o-aminophenoxy)-2-hydroxypropane-2HCl, m. 280-2° (from MeOH-Et2O); 1,3-bis(p-ureidophenoxy)-2-hydroxypropane, m. 174-84° (from H2O-EtOH).

IT 109438-86-0, Acetanilide, 2'-(2-hydroxy-3-succinimidopropoxy)- (preparation of)

RN 109438-86-0 CAPLUS

CN Acetanilide, 2'-(2-hydroxy-3-succinimidopropoxy)- (6CI) (CA INDEX NAME)

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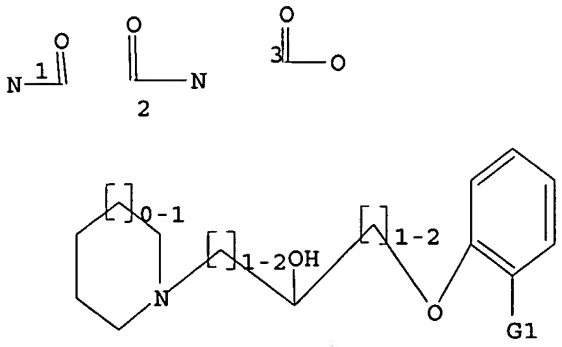


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